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NEWS 4 AUG 28 ADISCTI Reloaded and Enhanced
NEWS 5 AUG 30 CA(SM)/CAPLUS(SM) Austrian patent law changes
NEWS 6 SEP 11 CA/CAPLUS enhanced with more pre-1907 records
NEWS 7 SEP 21 CA/CAPLUS fields enhanced with simultaneous left and right
truncation
NEWS 8 SEP 25 CA(SM)/CAPLUS(SM) display of CA Lexicon enhanced
NEWS 9 SEP 25 CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 10 SEP 25 CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 11 SEP 28 CEABA-VTB classification code fields reloaded with new
classification scheme
NEWS 12 OCT 19 LOGOFF HOLD duration extended to 120 minutes
NEWS 13 OCT 19 E-mail format enhanced
NEWS 14 OCT 23 Option to turn off MARPAT highlighting enhancements available
NEWS 15 OCT 23 CAS Registry Number crossover limit increased to 300,000 in
multiple databases
NEWS 16 OCT 23 The Derwent World Patents Index suite of databases on STN
has been enhanced and reloaded
NEWS 17 OCT 30 CHEMLIST enhanced with new search and display field
NEWS 18 NOV 03 JAPIO enhanced with IPC 8 features and functionality

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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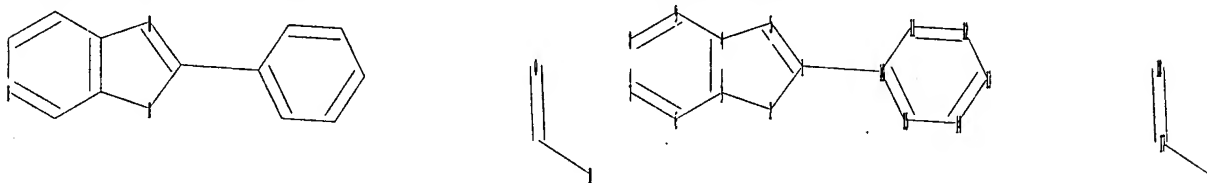
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=>

Uploading C:\Program Files\Stnexp\Queries\10661296A.str



chain nodes :

17 18 19

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

chain bonds :

1-10 17-18 17-19

ring bonds :

1-2 1-5 2-3 3-4 3-6 4-5 4-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15

exact/norm bonds :

1-2 1-5 2-3 4-5 17-18 17-19

exact bonds :

1-10

normalized bonds :

3-4 3-6 4-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14 14-15

isolated ring systems :

containing 1 : 10 :

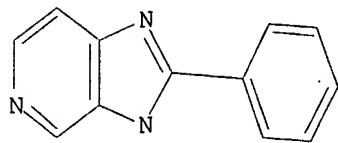
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:05:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 348 TO ITERATE

100.0% PROCESSED 348 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5841 TO 8079
PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 12:05:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6917 TO ITERATE

100.0% PROCESSED 6917 ITERATIONS 117 ANSWERS
SEARCH TIME: 00.00.01

L3 117 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	167.15

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=> s 13 full

L4 25 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:453896 CAPLUS

DOCUMENT NUMBER: 145:55376

TITLE: Novel 5-azaindole factor VIIa inhibitors

AUTHOR(S): Riggs, Jennifer R.; Hu, Huiyong; Kolesnikov, Aleksandr; Leahy, Ellen M.; Wesson, Kieron E.; Shrader, William D.; Vijaykumar, Dange; Wahl, Troy A.; Tong, Zhiwei; Sprengeler, Paul A.; Green, Michael J.; Yu, Christine; Katz, Brad A.; Sanford, Ellen; Nguyen, Margaret; Cabuslay, Ronnel; Young, Wendy B.

CORPORATE SOURCE: Celera Genomics, South San Francisco, CA, 94080, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(12), 3197-3200

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The discovery and development of 5-azaindole factor VIIa inhibitors will be described.

IT 891190-91-3P 891190-93-5P 891190-94-6P

891190-95-7P 891190-96-8P 891190-97-9P

891190-98-0P 891190-99-1P 891191-00-7P

891191-01-8P 891191-02-9P 891191-03-0P

891191-04-1P 891191-05-2P 891191-06-3P

891191-07-4P 891191-08-5P 891191-09-6P

891191-10-9P 891191-11-0P 891191-12-1P

891191-13-2P 891191-14-3P 891191-15-4P

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891191-19-8P 891191-20-1P 891191-21-2P

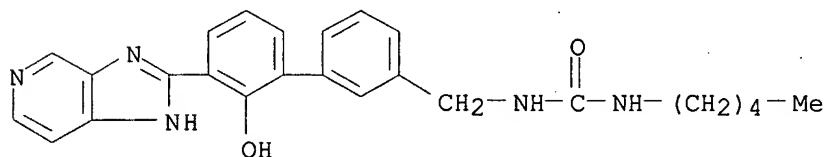
891191-22-3P 891191-23-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Novel 5-azaindole factor VIIa inhibitors)

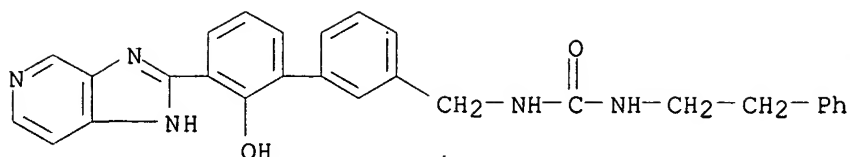
RN 891190-91-3 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]-N'-pentyl- (9CI) (CA INDEX NAME)



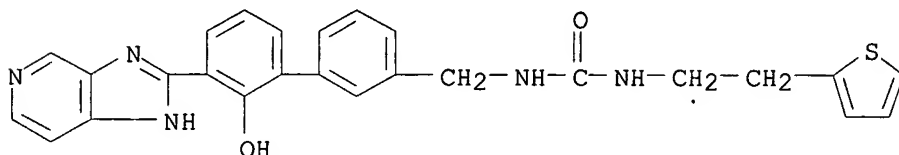
RN 891190-93-5 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]-N'-(2-phenylethyl)- (9CI) (CA INDEX NAME)



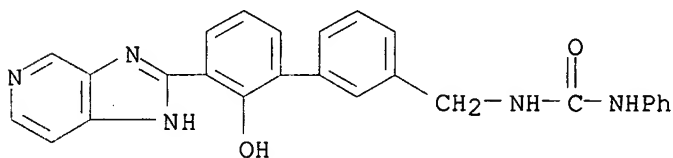
RN 891190-94-6 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]-N'-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)



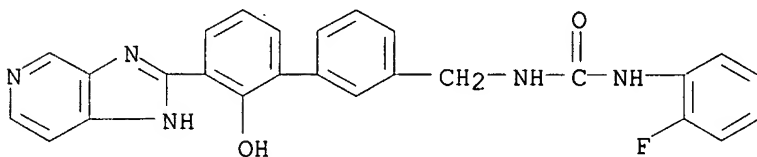
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CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]-N'-phenyl- (9CI) (CA INDEX NAME)



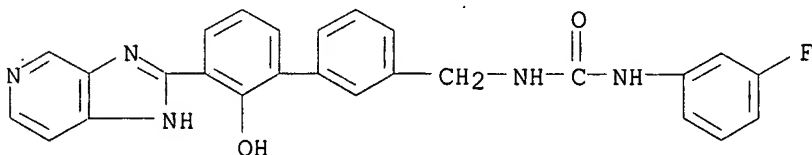
RN 891190-96-8 CAPLUS

CN Urea, N-(2-fluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)



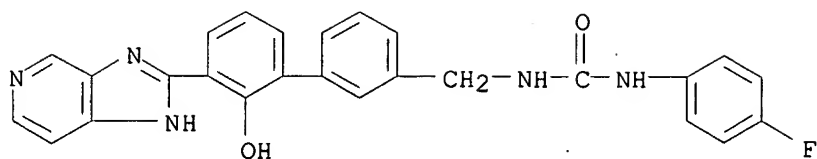
RN 891190-97-9 CAPLUS

CN Urea, N-(3-fluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)



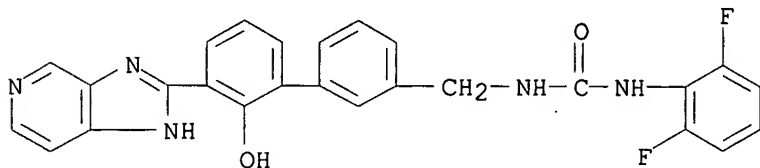
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CN Urea, N-(4-fluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)



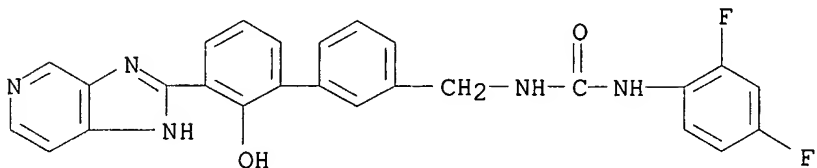
RN 891190-99-1 CAPLUS

CN Urea, N-(2,6-difluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)



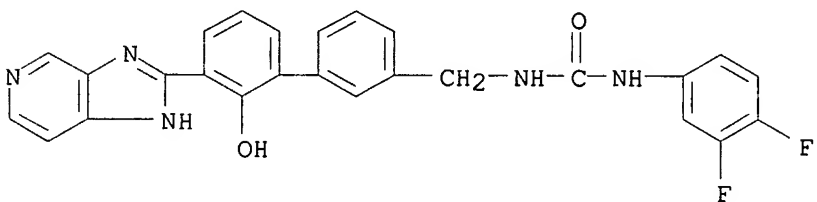
RN 891191-00-7 CAPLUS

CN Urea, N-(2,4-difluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)



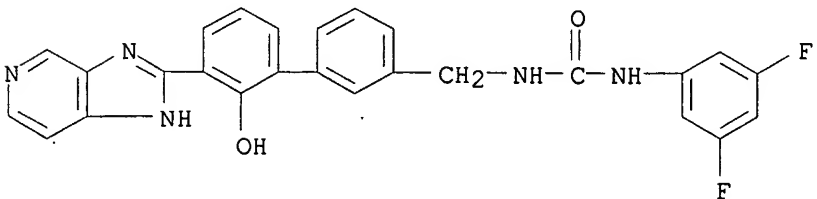
RN 891191-01-8 CAPLUS

CN Urea, N-(3,4-difluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 891191-02-9 CAPLUS

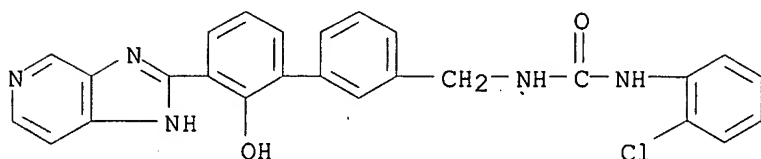
CN Urea, N-(3,5-difluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 891191-03-0 CAPLUS

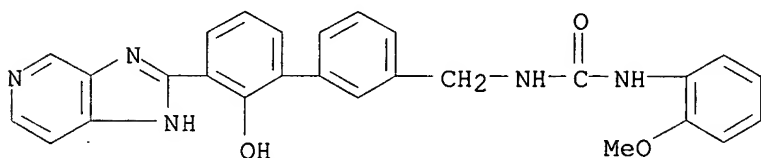
CN Urea, N-(2-chlorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-

yl)[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)



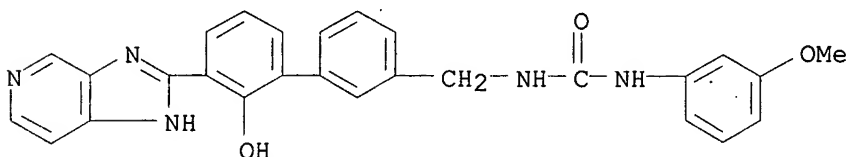
RN 891191-04-1 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



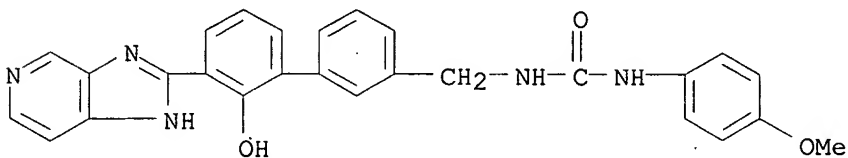
RN 891191-05-2 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



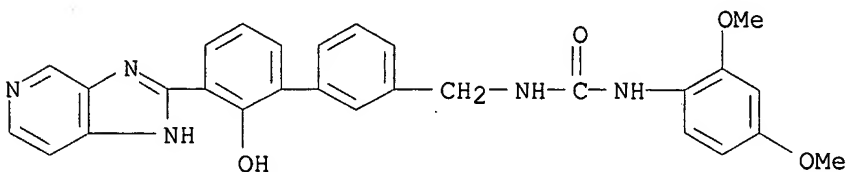
RN 891191-06-3 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 891191-07-4 CAPLUS

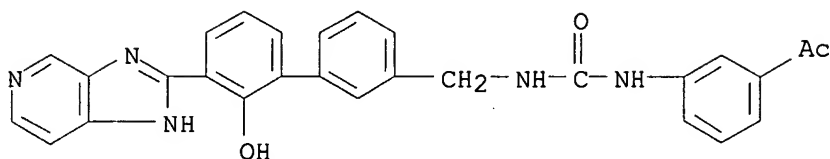
CN Urea, N-(2,4-dimethoxyphenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)



RN 891191-08-5 CAPLUS

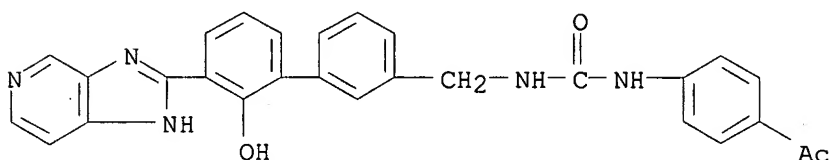
CN Urea, N-(3-acetylphenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-

yl)[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)



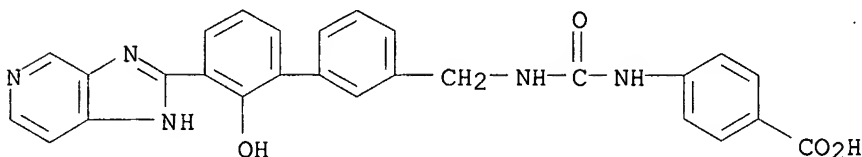
RN 891191-09-6 CAPLUS

CN Urea, N-(4-acetylphenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)



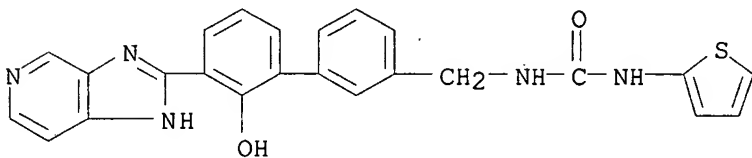
RN 891191-10-9 CAPLUS

CN Benzoic acid, 4-[[[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



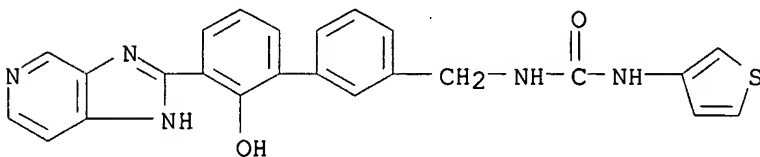
RN 891191-11-0 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]-N'-2-thienyl- (9CI) (CA INDEX NAME)



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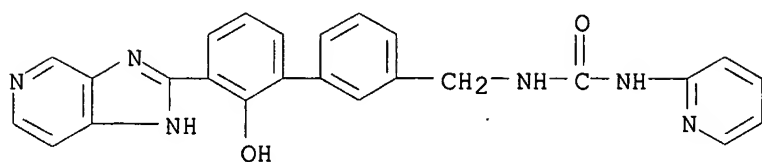
CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]-N'-3-thienyl- (9CI) (CA INDEX NAME)



RN 891191-13-2 CAPLUS

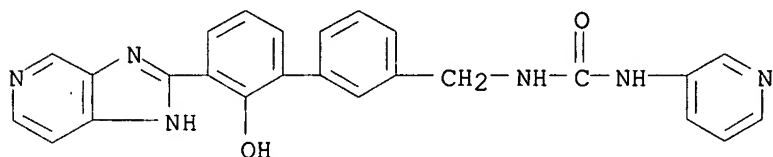
CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-

yl)methyl]-N'-2-pyridinyl- (9CI) (CA INDEX NAME)



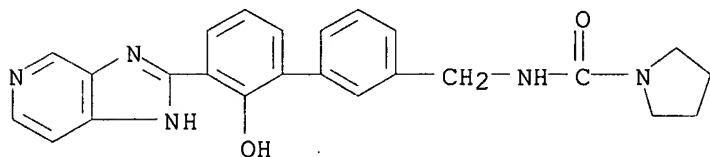
RN 891191-14-3 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]-N'-3-pyridinyl- (9CI) (CA INDEX NAME)



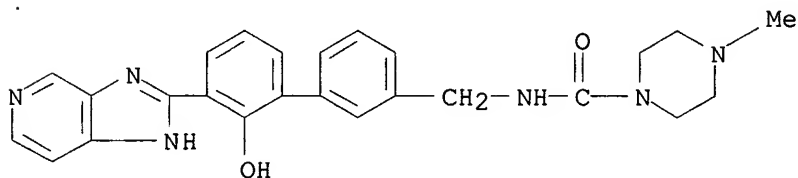
RN 891191-15-4 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)



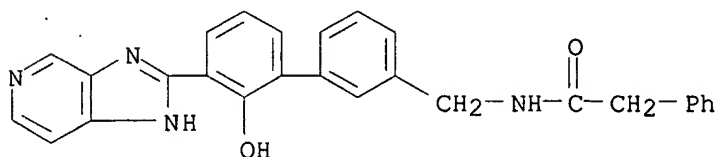
RN 891191-16-5 CAPLUS

CN 1-Piperazinecarboxamide, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 891191-17-6 CAPLUS

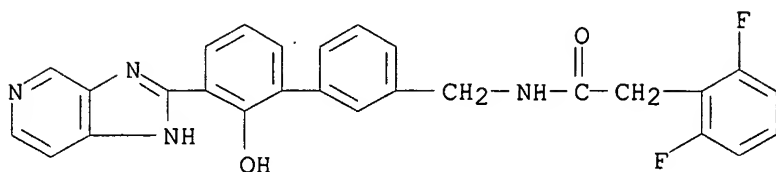
CN Benzeneacetamide, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)



RN 891191-18-7 CAPLUS

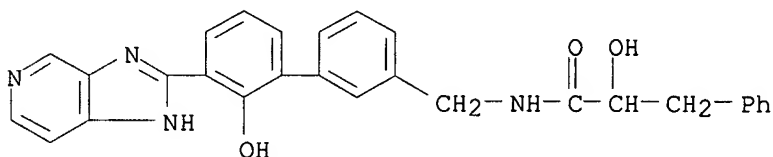
CN Benzeneacetamide, 2,6-difluoro-N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-

2-yl)[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)



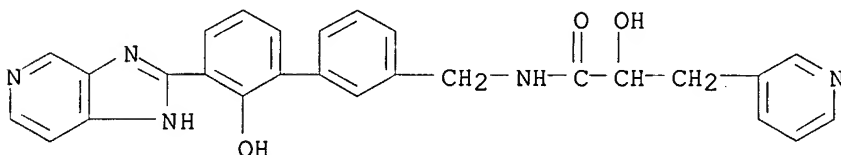
RN 891191-19-8 CAPLUS

CN Benzenepropanamide, α -hydroxy-N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)



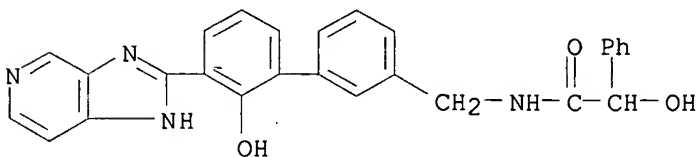
RN 891191-20-1 CAPLUS

CN 3-Pyridinepropanamide, α -hydroxy-N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)



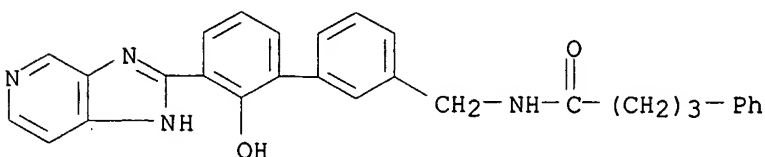
RN 891191-21-2 CAPLUS

CN Benzeneacetamide, α -hydroxy-N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)



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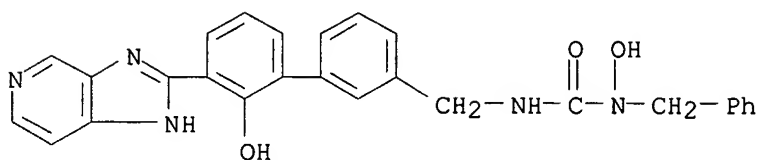
CN Benzenebutanamide, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)



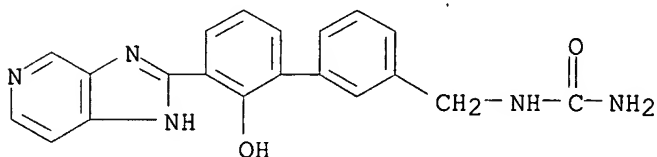
RN 891191-23-4 CAPLUS

CN Urea, N-hydroxy-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-

biphenyl]-3-yl)methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT 891190-90-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(Novel 5-azaindole factor VIIa inhibitors)
RN 891190-90-2 CAPLUS
CN Urea, [[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)

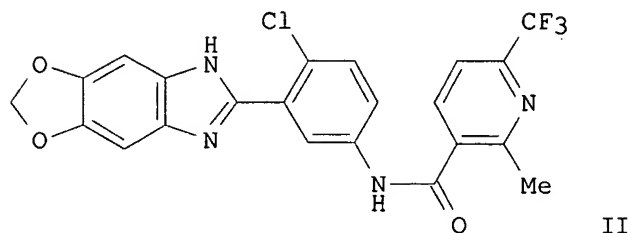
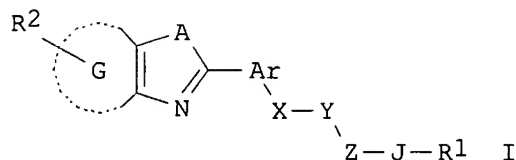


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:437390 CAPLUS
DOCUMENT NUMBER: 144:468166
TITLE: Preparation of benzimidazole derivatives as mediators of hedgehog signaling pathways
INVENTOR(S): Guicherit, Oivin M.; Boyd, Edward Andrew; Brunton, Shirley Ann; Price, Stephen; Stibbard, John Harry Alexander; MacKinnon, Colin H.
PATENT ASSIGNEE(S): Curis, Inc., USA
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006050506	A1	20060511	WO 2005-US40054	20051103
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2004-624536P P 20041103
OTHER SOURCE(S): MARPAT 144:468166
GI



AB Title compds. represented by the formula I [wherein X, Z = independently a direct bond, O, S, etc.; Y = C(=O), C(=S), SO₂, SO or NR₇; A = O, S or NR₇; G = cycloalkyl, heterocyclcyl or (hetero)aryl; Ar = (un)substituted (hetero)aryl; R₁ = disubstituted pyridinyl; R₂ = 0-4 substitutes; R₇ = independently H, alkyl, heteroaryl, etc.; J = independently a chain having from 0-8 unites from CR, NR, O or S; R = H or alkyl] were prepared as mediators of hedgehog signaling pathways. For example, II was provided in a multi-step synthesis starting from 2-methyl-6-trifluoromethylnicotinic acid. The bioassay for hedgehog pathway signaling activity was described. The present invention makes available methods and reagents for inhibiting aberrant growth states resulting from hedgehog gain-of-function, ptc loss-of-function or smoothened gain-of-function comprising contacting the cell with a hedgehog antagonist of formula (I) in a sufficient amount to aberrant growth state, e.g. , to agonize a normal ptc pathway or antagonize smoothened or hedgehog activity.

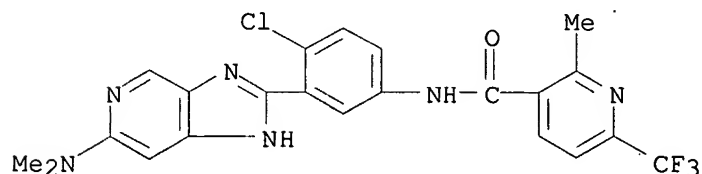
IT 886435-46-7P 886435-47-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole derivs. as mediators of hedgehog signaling pathways)

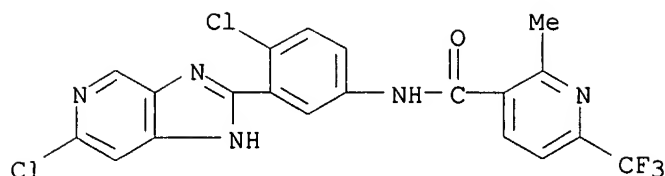
RN 886435-46-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-chloro-3-[6-(dimethylamino)-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]-2-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 886435-47-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-chloro-3-(6-chloro-1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-2-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1251654 CAPLUS

DOCUMENT NUMBER: 144:80570

TITLE: 1-[4-(1H-benzimidazol-2-yl)-phenyl]-3-[4-(1H-benzimidazol-2-yl)-phenyl]-urea derivatives as small molecule heparanase inhibitors

AUTHOR(S): Pan, Weitao; Miao, Hua-Quan; Xu, Yong-Jiang; Navarro, Elizabeth C.; Tonra, James R.; Corcoran, Erik; Lahiji, Armin; Kussie, Paul; Kiselyov, Alexander S.; Wong, Wai C.; Liu, Hu

CORPORATE SOURCE: Department of Chemistry, ImClone Systems Incorporated, Brooklyn, NY, 11226, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(2), 409-412

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A novel class of 1-[4-(1H-benzimidazol-2-yl)-phenyl]-3-[4-(1H-benzimidazol-2-yl)-phenyl]-ureas are described as potent inhibitors of heparanase. Among them are 1,3-bis-[4-(1H-benzimidazol-2-yl)-phenyl]-urea (7a) and 1,3-bis-[4-(5,6-dimethyl-1H-benzimidazol-2-yl)-phenyl]-urea (7d), which displayed good heparanase inhibitory activity (IC₅₀ 0.075-0.27 μM). Compound 7a showed good efficacy in a B16 metastasis model.

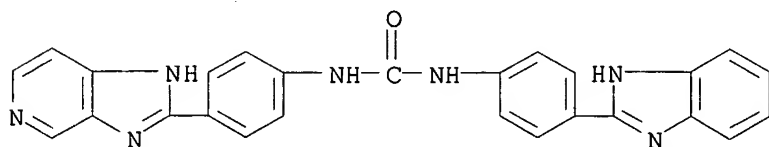
IT 851675-86-0P 851676-06-7P 872552-42-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzimidazolyl phenylureas as heparanase inhibitors)

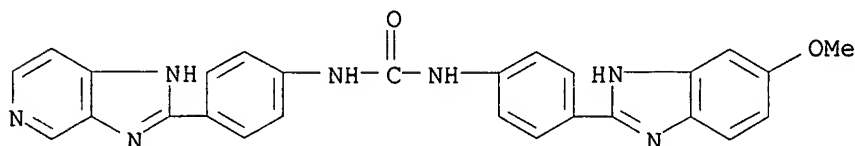
RN 851675-86-0 CAPLUS

CN Urea, N-[4-(1H-benzimidazol-2-yl)phenyl]-N'-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

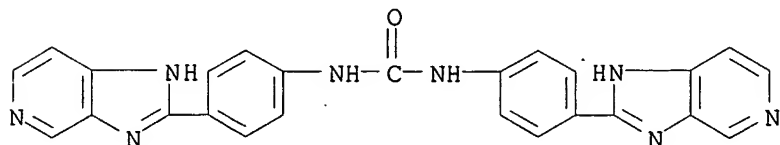


RN 851676-06-7 CAPLUS

CN Urea, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-N'-[4-(5-methoxy-1H-benzimidazol-2-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 872552-42-6 CAPLUS
 CN Urea, N,N'-bis[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1251651 CAPLUS

DOCUMENT NUMBER: 144:163488

TITLE: N-(4-([4-(1H-benzoimidazol-2-yl)-arylamino]-methyl)-phenyl)-benzamide derivatives as small molecule heparanase inhibitors

AUTHOR(S): Xu, Yong-Jiang; Miao, Hua-Quan; Pan, Weitao; Navarro, Elizabeth C.; Tonra, James R.; Mitelman, Stan; Camara, M. Margarita; Deevi, Dhanvanthri S.; Kiselyov, Alexander S.; Kussie, Paul; Wong, Wai C.; Liu, Hu
 CORPORATE SOURCE: Department of Chemistry, ImClone Systems Incorporated, Brooklyn, NY, 11226, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(2), 404-408

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A novel class of N-(4-([4-(1H-benzoimidazol-2-yl)-arylamino]-methyl)-phenyl)-benzamides are described as inhibitors of the endo- β -glucuronidase heparanase. Among them are N-(4-([4-(1H-benzoimidazol-2-yl)-phenylamino]-methyl)-phenyl)-3-bromo-4-methoxy-benzamide (15h), and N-(4-([5-(1H-benzoimidazol-2-yl)-pyridin-2-ylamino]-methyl)-phenyl)-3-bromo-4-methoxy-benzamide (23) which displayed good heparanase inhibitory activity (IC₅₀ 0.23-0.29 μ M), with the latter showing oral exposure in mice.

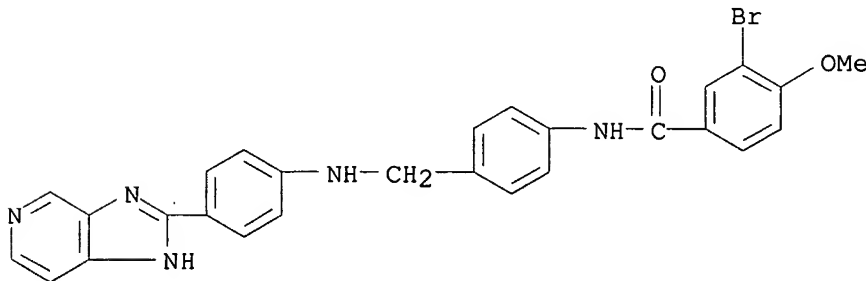
IT 873562-17-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzamide derivs. as small mol. heparanase inhibitors)

RN 873562-17-5 CAPLUS

CN Benzamide, 3-bromo-N-[4-[[[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]amino]methyl]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2005:409487 CAPLUS

DOCUMENT NUMBER: 142:463723

TITLE: Preparation of [(benzimidazol-2-yl)phenyl](phenyl)urea compounds as heparanase inhibitors

INVENTOR(S): Liu, Hu; Pan, Weitao; Xu, Yong-Jiang

PATENT ASSIGNEE(S): Imclone Systems Incorporated, USA

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042495	A1	20050512	WO 2004-US34671	20041021
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

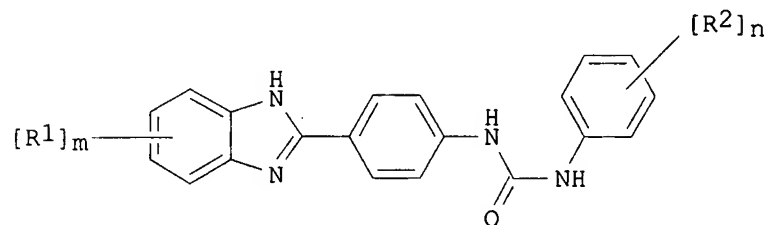
PRIORITY APPLN. INFO.:

US 2003-512785P

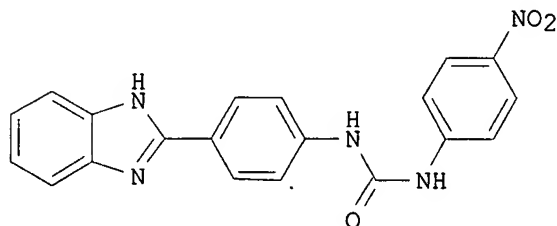
P 20031021

OTHER SOURCE(S): MARPAT 142:463723

GI



I



II

AB Title compds. I [wherein $m = 0-2$; $n = 1-2$; each R^1 = independently F, Br, Cl, I, NO_2 , NH_2 , CN, OH, alkyl, alkoxy; each R^2 = independently F, Br, Cl, I, NO_2 , NH_2 , CN, OH, alkyl, alkoxy, hetero/aryl, aryl/alkyl, $NHCO$ -alkyl, etc.], which are inhibitors of heparanases and are useful in inhibiting the release of bioactive agents from heparan sulfate proteoglycans, were prepared Thus, reacting 4-(1H-Benzimidazol-2-yl)phenylamine with

1-Isocyanato-4-nitrobenzene gave urea II in 80% yield. Most I showed 29-109% inhibition at the concentration of 33 μ M (99 % inhibition for II) in the heparanase activity assays.

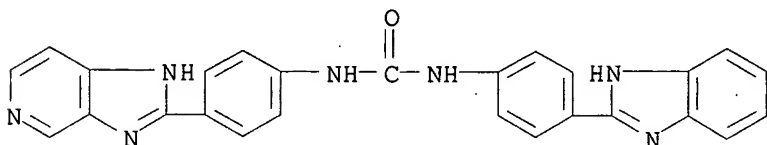
IT 851675-86-0P, 1-[4-(1H-Benzimidazol-2-yl)phenyl]-3-[4-(3H-imidazo[4,5-c]pyridin-2-yl)phenyl]urea 851676-06-7P
851676-08-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (benzimidazolylphenyl)(phenyl)urea derivs. as heparanase inhibitors)

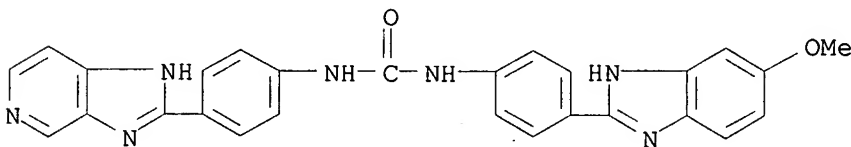
RN 851675-86-0 CAPLUS

CN Urea, N-[4-(1H-benzimidazol-2-yl)phenyl]-N'-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



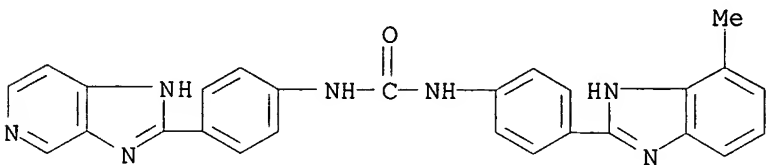
RN 851676-06-7 CAPLUS

CN Urea, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-N'-[4-(5-methoxy-1H-benzimidazol-2-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 851676-08-9 CAPLUS

CN Urea, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-N'-[4-(4-methyl-1H-benzimidazol-2-yl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:300252 CAPLUS

DOCUMENT NUMBER: 142:373830

TITLE: Preparation of benzimidazoles and imidazopyridines as heparanase inhibitors

INVENTOR(S): Liu, Hu; Miao, Hua-quan

PATENT ASSIGNEE(S): Imclone Systems, Inc., USA

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

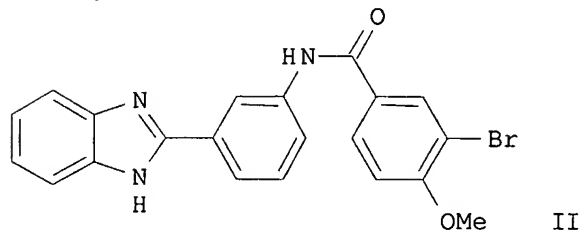
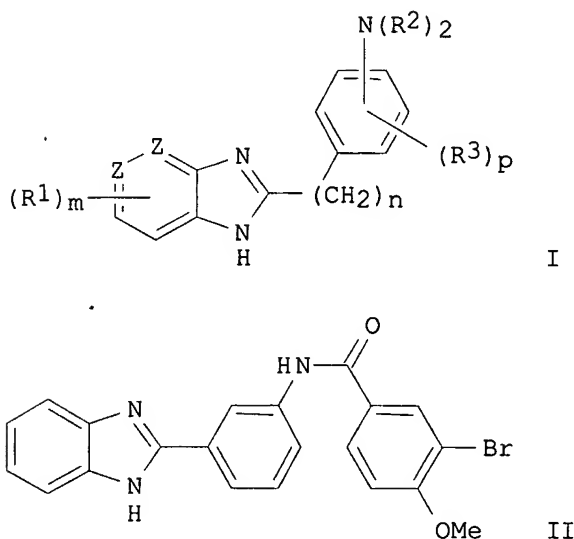
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030206	A1	20050407	WO 2004-US31689	20040924
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-505136P P 20030924
 OTHER SOURCE(S): MARPAT 142:373830
 GI

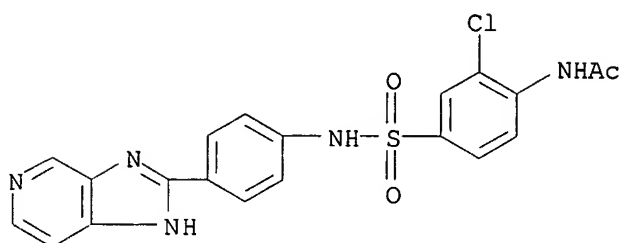


AB Title compds. I [wherein Z = N or CH (at least one Z is CH); m, n, p = 0-4; R1, R3 = halo, nitro, amino, cyano, hydroxy, (un)substituted alk(en/yn)l, alkoxy, (hetero)aryl or -NHC(O)-aryl; R2 = H, (un)substituted carbonyl or sulfonyl], which are inhibitors of heparanases and are useful in inhibiting the release of bioactive agents from heparan sulfate proteoglycans, were prepared For example, cyclocondensation of 1,2-phenylenediamine with 3-aminobenzoic acid in the presence of polyphosphoric acid (52% yield) followed by acylation with 3-bromo-4-methoxybenzoyl chloride, which was obtained by chlorination of the corresponding acid with oxalyl chloride, gave amide II (8% yield). Most I showed 29-109% inhibition at the concentration of 25 μ M (65% inhibition for II) in the heparanase activity assays.

IT 849507-43-3P 849507-63-7P 849507-75-1P
 849507-89-7P 849508-00-5P 849508-18-5P
 849509-31-5P 849509-49-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (inhibitor; preparation of benzimidazoles and imidazopyridines as heparanase inhibitors)

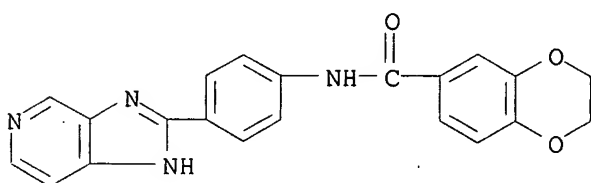
RN 849507-43-3 CAPLUS
 CN Acetamide, N-[2-chloro-4-[[[4-(1H-imidazo[4,5-c]pyridin-2-

yl)phenyl]amino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



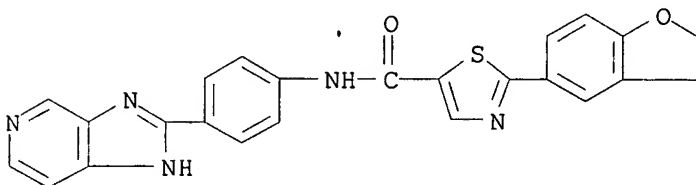
RN 849507-63-7 CAPLUS

CN 1,4-Benzodioxin-6-carboxamide, 2,3-dihydro-N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



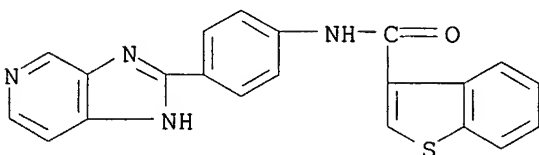
RN 849507-75-1 CAPLUS

CN 5-Thiazolecarboxamide, 2-(2,3-dihydro-5-benzofuranyl)-N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



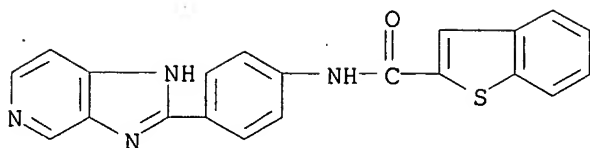
RN 849507-89-7 CAPLUS

CN Benzo[b]thiophene-3-carboxamide, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



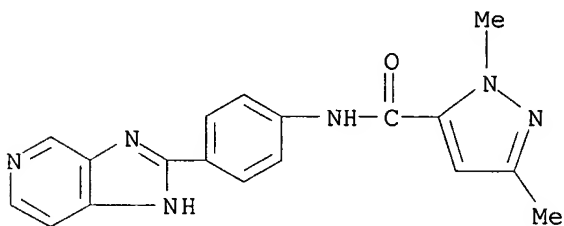
RN 849508-00-5 CAPLUS

CN Benzo[b]thiophene-2-carboxamide, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



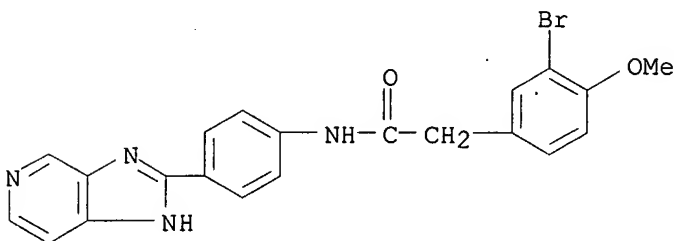
RN 849508-18-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



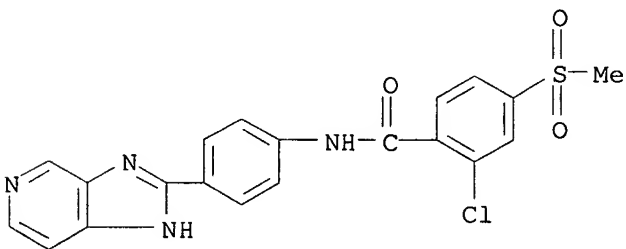
RN 849509-31-5 CAPLUS

CN Benzeneacetamide, 3-bromo-N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 849509-49-5 CAPLUS

CN Benzamide, 2-chloro-N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:136530 CAPLUS

DOCUMENT NUMBER: 142:233301

TITLE: Selective pharmacologic inhibition of protein trafficking and related methods of treating human diseases

INVENTOR(S): Sircar, Jagadish; Richards, Mark L.

PATENT ASSIGNEE(S): Avanir Pharmaceuticals, USA
 SOURCE: PCT Int. Appl., 134 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013950	A2	20050217	WO 2004-US26435	20040809
WO 2005013950	A3	20050901		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004263190	A1	20050217	AU 2004-263190	20040809
CA 2533990	AA	20050217	CA 2004-2533990	20040809
US 2005256179	A1	20051117	US 2004-915722	20040809
EP 1651198	A2	20060503	EP 2004-781164	20040809
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			US 2003-493497P	P 20030808
			WO 2004-US26435	W 20040809

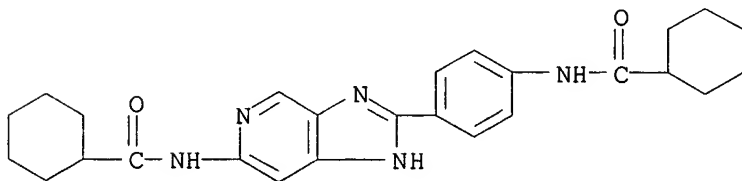
OTHER SOURCE(S): MARPAT 142:233301

AB Preferred aspects of the present invention relate to the inhibition of intracellular protein trafficking pathways through selective pharmacol. down-regulation of specific resident ER and golgi proteins, and more particularly, to methods of treating a variety of disease conditions, which depend on these intracellular protein trafficking pathways.

IT 675199-95-8 675199-97-0
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (selective pharmacol. inhibition of protein trafficking and related methods of treating human diseases)

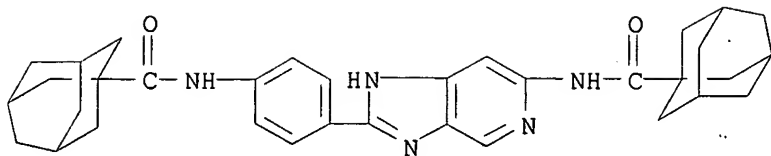
RN 675199-95-8 CAPLUS

CN Cyclohexanecarboxamide, N-[4-[6-[(cyclohexylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 675199-97-0 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, N-[4-[6-[(tricyclo[3.3.1.1^{3,7}]dec-1-ylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)



L4. ANSWER 8 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:414631 CAPLUS

DOCUMENT NUMBER: 140:423660

TITLE: Preparation of thieno[3,2-c]pyridines and related compounds as antiinflammatory agents

INVENTOR(S): Burkitt, Simon A.; Cardozo, Mario G.; Cushing, Timothy D.; DeGraffenreid, Michael R.; Farthing, Christopher N.; Hao, Xiaolin; Jaen, Juan C.; Jiao, Xian Yun; Kopecky, David J.; Labelle, Marc; Lively, Sarah E.; McMinn, Dustin L.; Rasmussen, Sven P.; Shin, Youngsook; Smith, Andrew; Smith, Marie-Louise

PATENT ASSIGNEE(S): Tularik Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 70 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

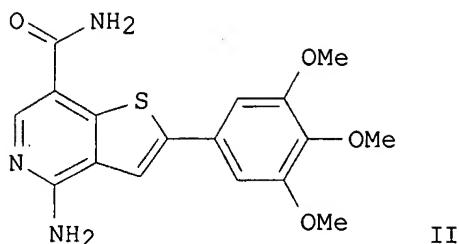
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004097485	A1	20040520	US 2003-666857	20030919
CA 2502429	AA	20040521	CA 2003-2502429	20030919
WO 2004041285	A1	20040521	WO 2003-US29143	20030919
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003270701	A1	20040607	AU 2003-270701	20030919
EP 1556053	A1	20050727	EP 2003-752410	20030919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512313	T2	20060413	JP 2004-549954	20030919
PRIORITY APPLN. INFO.:			US 2002-422531P	P 20021031
			WO 2003-US29143	W 20030919

OTHER SOURCE(S): MARPAT 140:423660

GI



AB The invention relates to title fused heterobicyclic compds. QLWR1R2 (I) [wherein W = 5-6, 6-6, or 5-5 fused bicyclic ring system wherein one or both rings are aromatic, containing N and 0-3 addnl. N, O, or S; R1 = carbamoyl, acyl, hydroxyiminomethyl, acylamino, sulfamoyl, heteroaryl, etc.; R2 = (un)substituted amino, heterocyclyl, OH; L = bond, alkylene, CO, CONR3, SO2NR3, CR3=CR4; O, S, NR3; R3 and R4 = independently H, (cyclo)alkyl, (hetero)aryl(alkyl), heterocyclyl; Q = cycloalkyl, (cyclo)alkenyl, alkynyl, alkoxy, halo, (hetero)aryl, heterocyclyl; with provisos; and pharmaceutically acceptable salts, hydrates, solvates, or prodrugs thereof], which were prepared as inhibitors of IKK α and IKK β enzymes, mediators of TNF- α and IL-1 induced I κ B phosphorylation and degradation. For example, reaction of 2-bromo-7-cyano-4-(p-methoxybenzylamino)thieno[3,2-c]pyridine with concentrated H2SO4 gave 2-bromo-7-carboxamido-4-aminothieno[3,2-c]pyridine \cdot H2SO4, which was coupled with 3,4,5-trimethoxybenzeneboronic acid in the presence of K2CO3 and PdCl2(dppf):DCM complex in DMF and H2O to afford II. All exemplified compds. inhibited recombinant, full-length IKK β enzyme with IC50 values of ≤ 10 μ M, and selected compds. displayed IC50 values ≤ 10 μ M against recombinant, full-length IRAK-1 and IRAK-4 enzymes. Thus, I and their pharmaceutical compns. are useful in the treatment of inflammatory, immunoregulatory, metabolic, infectious, and cell proliferative diseases or conditions (no data).

IT 690635-34-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(IKK inhibitor; preparation of thieno[3,2-c]pyridines and related fused heterobicyclic compds. as antiinflammatory agents)

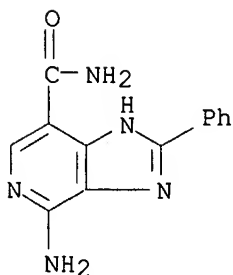
RN 690635-34-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-7-carboxamide, 4-amino-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

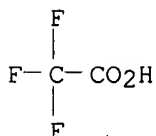
CRN 690635-33-7

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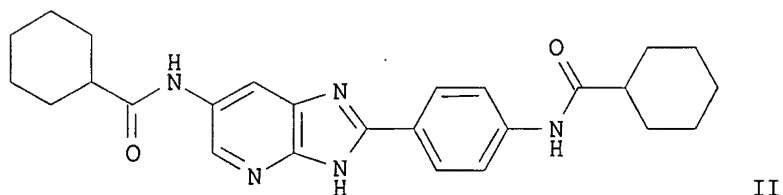
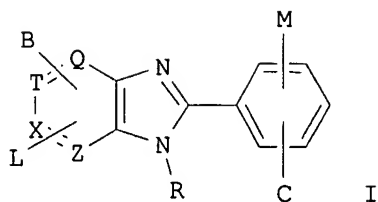
CM 2

CRN 76-05-1
CMF C2 H F3 O2



L4 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:252624 CAPLUS
DOCUMENT NUMBER: 140:303678
TITLE: Preparation of imidazopyridines as modulators for the
IgE immune response in the treatment of allergic and
proliferative diseases
INVENTOR(S): Sircar, Jagadish C.; Thomas, Richard J.; Richards,
Mark L.; Sinha, Anjana
PATENT ASSIGNEE(S): Avanir Pharmaceuticals, USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024897	A2	20040325	WO 2003-US30962	20030912
WO 2004024897	A3	20040826		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2498495	AA	20040325	CA 2003-2498495	20030912
AU 2003279729	A1	20040430	AU 2003-279729	20030912
US 2004116466	A1	20040617	US 2003-661296	20030912
EP 1546157	A2	20050629	EP 2003-773067	20030912
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003014235	A	20050809	BR 2003-14235	20030912
CN 1694889	A	20051109	CN 2003-825093	20030912
JP 2006503048	T2	20060126	JP 2004-536644	20030912
PRIORITY APPLN. INFO.:			US 2002-410761P	P 20020912
			WO 2003-US30962	W 20030912
OTHER SOURCE(S):	MARPAT 140:303678			
GI				



AB Compds. I [A = H, halogen, R₁NHCO; B = (A)_n, R₁NHCO, R₁CONH; C = R₂CONH, R₂NHCO; L, M = H, (un)substituted alkyl or aryl, alkoxy, amino, alkylamino, halogen, hydroxy, nitro, cyano, trifluoromethyl, trifluoromethoxy, (un)substituted aminocarbonyl; n = 1-4; Q, T, X, Z = C, N (one of Q, T, X, Z is N); R = H, alkyl, benzyl, 4-fluorobenzyl, (dialkylamino)alkyl; R₁, R₂ = H, (un)substituted alkyl, cycloalkyl, Ph, naphthyl, heteroaryl] such as II are prepared as inhibitors of IgE-mediated immune response for the treatment of allergies (particularly asthma) and proliferative diseases such as cancer; I are also prepared to suppress cytokines and leukocytes. Amination of 2-chloro-3,5-dinitropyridine, reduction of the 3-nitro group with ammonium sulfide, addition of 4-nitrobenzaldehyde, reduction of the nitro groups by hydrogenation with palladium on carbon, and acylation of the free amines with cyclohexanecarbonyl chloride yields II. Compds. of the invention suppress the IgE immune response by 50% at concns. between 100 μM and 1 pM (no data). Methods for the preparation of the imidazopyridine invention compds. are also claimed.

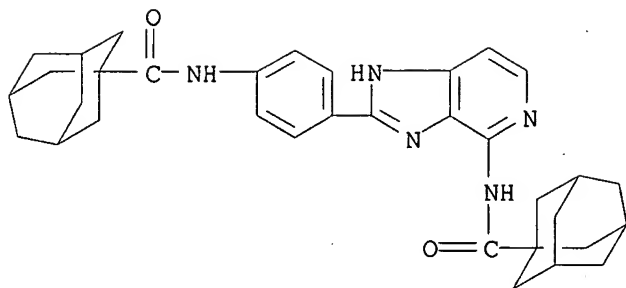
IT 675199-90-3P 675199-94-7P 675199-95-8P
675199-97-0P 675199-99-2P 675200-01-8P
675200-02-9P 675200-03-0P 675200-04-1P
675200-05-2P 675200-06-3P 675200-07-4P
675200-08-5P 675200-09-6P 675200-10-9P
675200-20-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(invention compound; preparation of imidazopyridines as modulators for the IgE-mediated immune response and for the suppression of cytokines and leukocytes in the treatment of asthma and proliferative diseases)

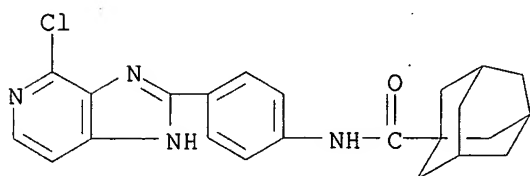
RN 675199-90-3 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, N-[4-[4-[(tricyclo[3.3.1.1^{3,7}]dec-1-ylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)



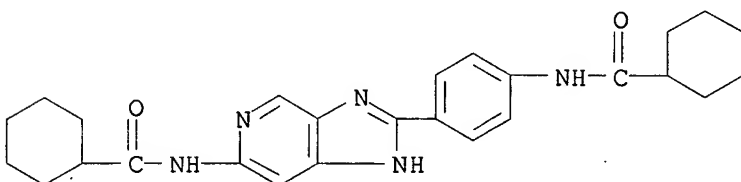
RN 675199-94-7 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, N-[4-(4-chloro-1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



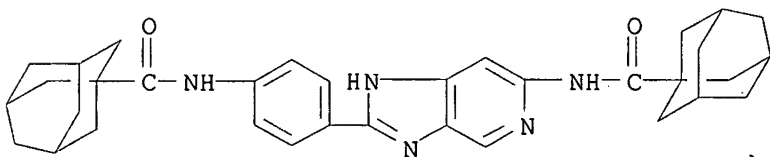
RN 675199-95-8 CAPLUS

CN Cyclohexanecarboxamide, N-[4-[6-[(cyclohexylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)



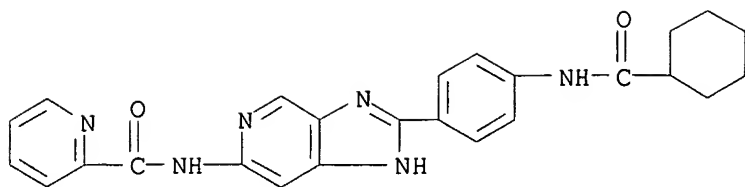
RN 675199-97-0 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, N-[4-[6-[(tricyclo[3.3.1.1.3,7]dec-1-ylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)



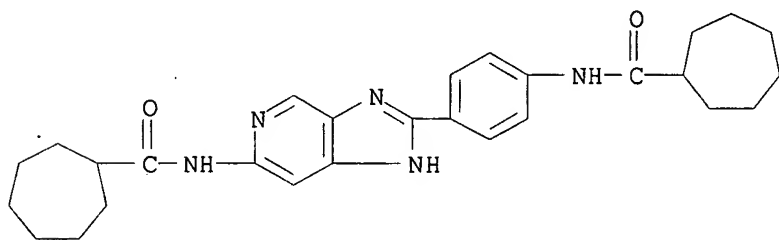
RN 675199-99-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[4-[(cyclohexylcarbonyl)amino]phenyl]-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)



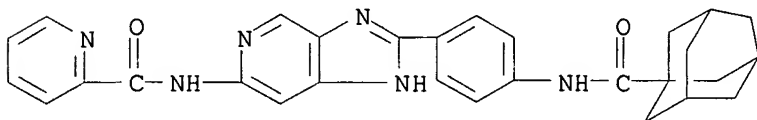
RN 675200-01-8 CAPLUS

CN Cycloheptanecarboxamide, N-[4-[6-[(cycloheptylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)



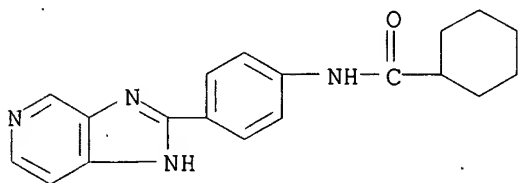
RN 675200-02-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[4-[(tricyclo[3.3.1.3^0,2^0]dec-1-ylcarbonyl)amino]phenyl]-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)



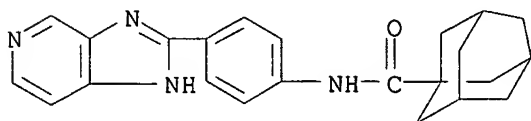
RN 675200-03-0 CAPLUS

CN Cyclohexanecarboxamide, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 675200-04-1 CAPLUS

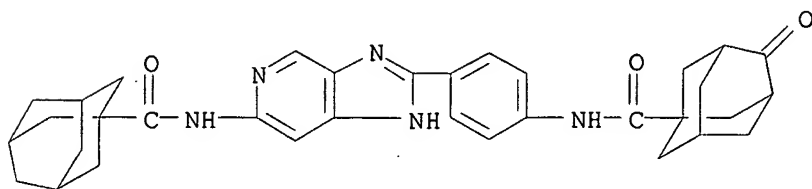
CN Tricyclo[3.3.1.3^0,2^0]decane-1-carboxamide, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 675200-05-2 CAPLUS

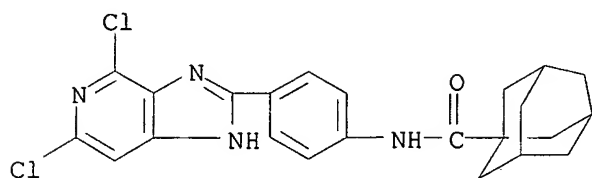
CN Tricyclo[3.3.1.3^0,2^0]decane-1-carboxamide, 4-oxo-N-[4-[6-

[(tricyclo[3.3.1.1^{3,7}]dec-1-ylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)



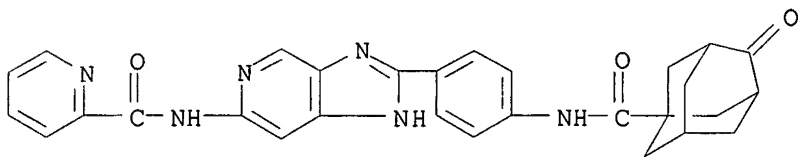
RN 675200-06-3 CAPLUS

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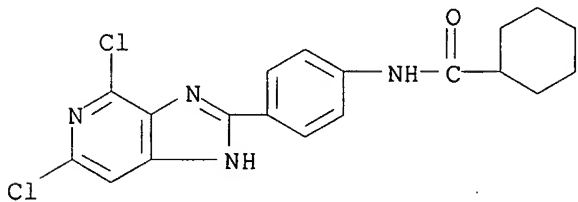
RN 675200-07-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[4-[(4-oxotricyclo[3.3.1.1^{3,7}]dec-1-yl)carbonyl]amino]phenyl]-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)



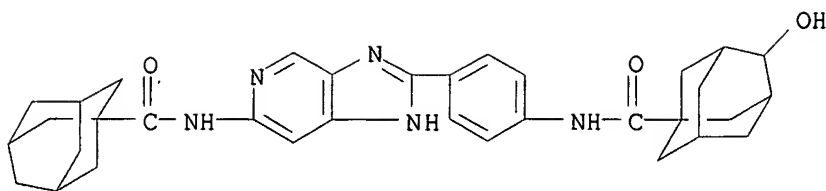
RN 675200-08-5 CAPLUS

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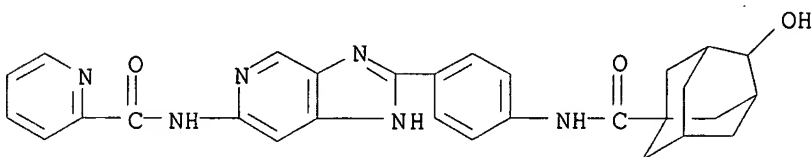
RN 675200-09-6 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-hydroxy-N-[4-[6-[(tricyclo[3.3.1.1^{3,7}]dec-1-ylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 675200-10-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[4-[[[4-hydroxytricyclo[3.3.1.1^{3,7}]dec-1-yl)carbonyl]amino]phenyl]-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)



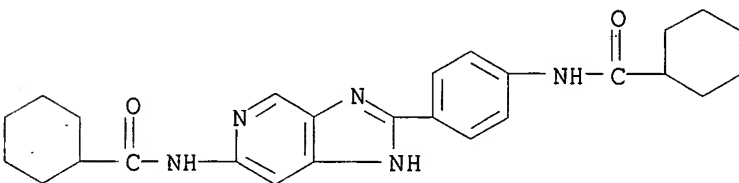
RN 675200-20-1 CAPLUS

CN Cyclohexanecarboxamide, N-[4-[6-[(cyclohexylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

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CRN 675199-95-8

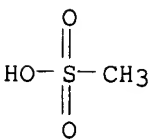
CMF C26 H31 N5 O2



CM 2

CRN 75-75-2

CMF C H4 O3 S



IT 675200-25-6P 675200-26-7P 675200-27-8P

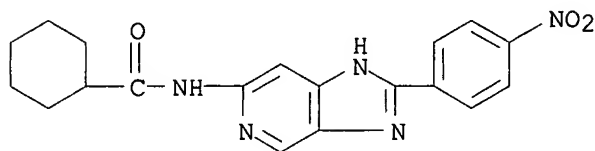
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675200-31-4P 675200-32-5P

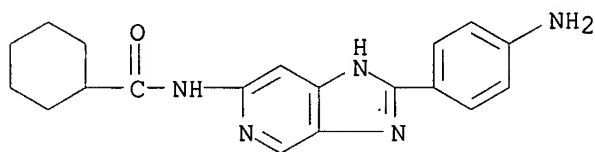
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazopyridines as modulators for the IgE-mediated immune response and for the suppression of cytokines and leukocytes in the treatment of asthma and proliferative diseases)

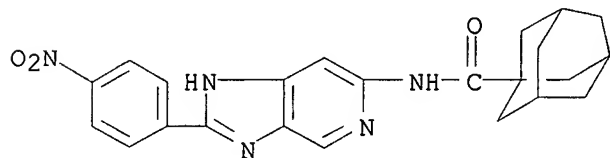
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CN Cyclohexanecarboxamide, N-[2-(4-nitrophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)



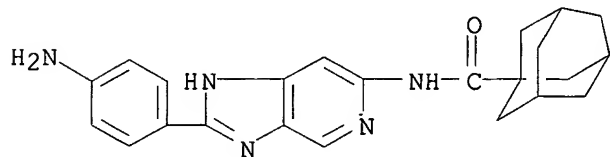
RN 675200-26-7 CAPLUS
CN Cyclohexanecarboxamide, N-[2-(4-aminophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)



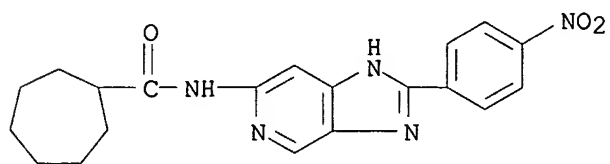
RN 675200-27-8 CAPLUS
CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, N-[2-(4-nitrophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)



RN 675200-28-9 CAPLUS
CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, N-[2-(4-aminophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)

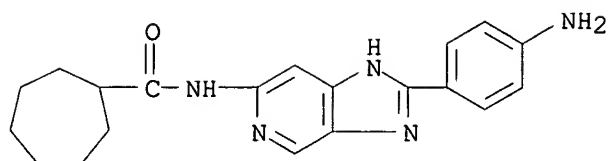


RN 675200-29-0 CAPLUS
CN Cycloheptanecarboxamide, N-[2-(4-nitrophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)



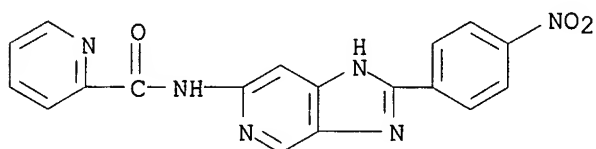
RN 675200-30-3 CAPLUS
CN Cycloheptanecarboxamide, N-[2-(4-aminophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-

y1]- (9CI) (CA INDEX NAME)



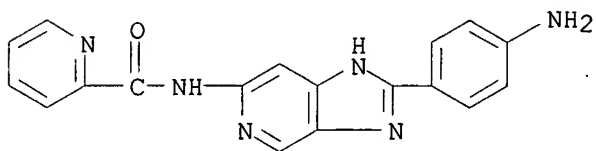
RN 675200-31-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-(4-nitrophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-
(9CI) (CA INDEX NAME)



RN 675200-32-5 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-(4-aminophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-
(9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:41604 CAPLUS
DOCUMENT NUMBER: 140:105238
TITLE: Antibacterial inhibitors of Ftsz protein
INVENTOR(S): White, Lucile E.; Reynolds, Robert C.; Suling, William
PATENT ASSIGNEE(S): Southern Research Institute, USA
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005472	A2	20040115	WO 2003-US20984	20030702
WO 2004005472	A3	20040923		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2491680	AA	20040115	CA 2003-2491680	20030702
AU 2003281340	A1	20040123	AU 2003-281340	20030702

EP 1538907 A2 20050615 EP 2003-756780 20030702
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 JP 2005535662 T2 20051124 JP 2004-519843 20030702
 US 2006241103 A1 20061026 US 2005-519731 20050705
 PRIORITY APPLN. INFO.: US 2002-393680P P 20020702
 WO 2003-US20984 W 20030702

OTHER SOURCE(S): MARPAT 140:105238

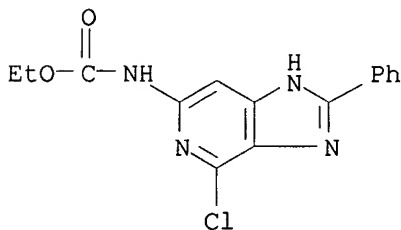
AB The invention relates to inhibitors of FtsZ polymerization and uses thereof.

IT 109182-47-0 109182-53-8 109182-54-9
 109182-69-6 109182-73-2 109217-57-4
 646072-86-8 646072-87-9 646072-90-4
 646072-91-5 646072-92-6 646072-93-7
 646072-94-8 646072-97-1 646072-99-3
 646073-00-9 646073-01-0 646073-02-1
 646073-03-2 646073-24-7 646073-49-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (inhibitors of ftsz and uses thereof)

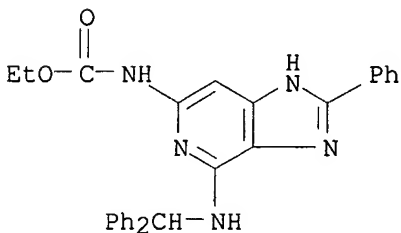
RN 109182-47-0 CAPLUS

CN Carbamic acid, (4-chloro-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl)-, ethyl
 ester (9CI) (CA INDEX NAME)



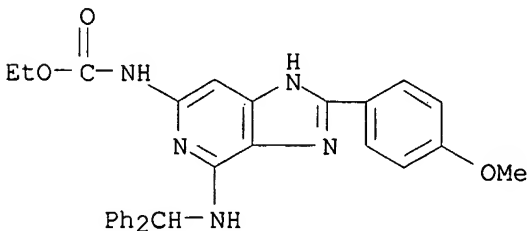
RN 109182-53-8 CAPLUS

CN Carbamic acid, [4-[(diphenylmethyl)amino]-2-phenyl-1H-imidazo[4,5-
 c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



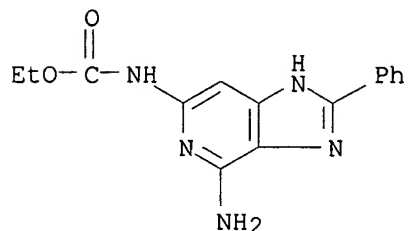
RN 109182-54-9 CAPLUS

CN Carbamic acid, [4-[(diphenylmethyl)amino]-2-(4-methoxyphenyl)-1H-
 imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



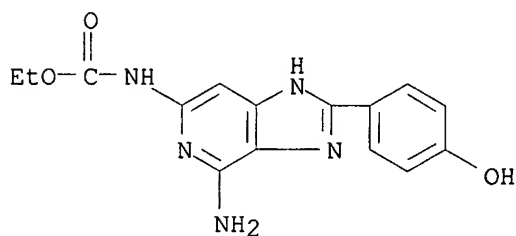
RN 109182-69-6 CAPLUS

CN Carbamic acid, (4-amino-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl)-, ethyl ester (9CI) (CA INDEX NAME)



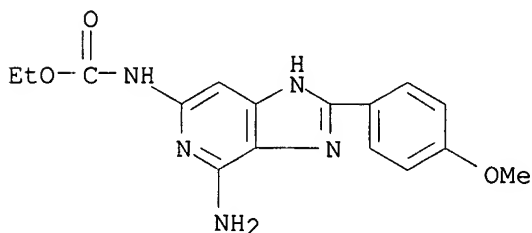
RN 109182-73-2 CAPLUS

CN Carbamic acid, [4-amino-2-(4-hydroxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



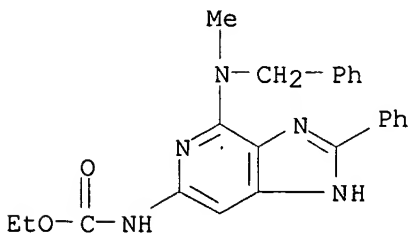
RN 109217-57-4 CAPLUS

CN Carbamic acid, [4-amino-2-(4-methoxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



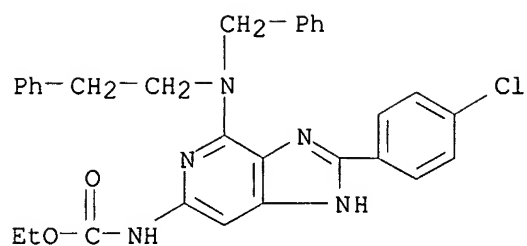
RN 646072-86-8 CAPLUS

CN Carbamic acid, [4-[methyl(phenylmethyl)amino]-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



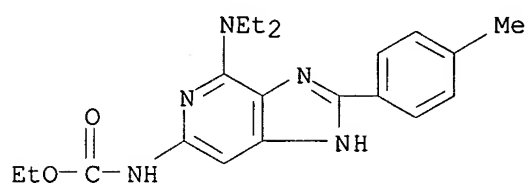
RN 646072-87-9 CAPLUS

CN Carbamic acid, [2-(4-chlorophenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



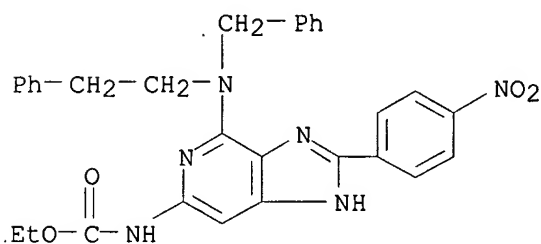
RN 646072-90-4 CAPLUS

CN Carbamic acid, [4-(diethylamino)-2-(4-methylphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



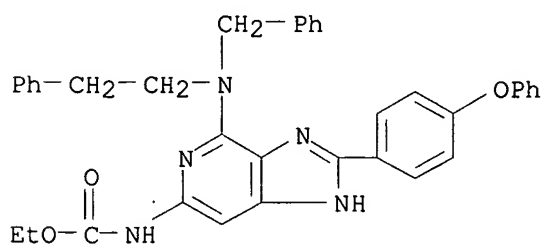
RN 646072-91-5 CAPLUS

CN Carbamic acid, [2-(4-nitrophenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



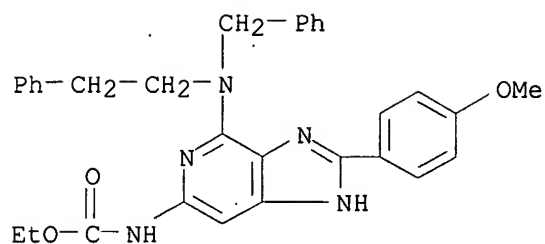
RN 646072-92-6 CAPLUS

CN Carbamic acid, [2-(4-phenoxyphenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



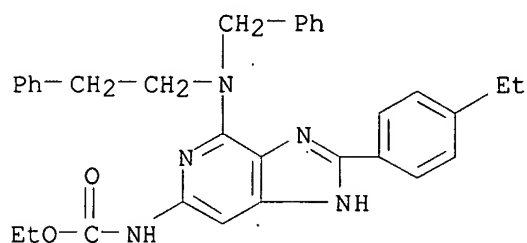
RN 646072-93-7 CAPLUS

CN Carbamic acid, [2-(4-methoxyphenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



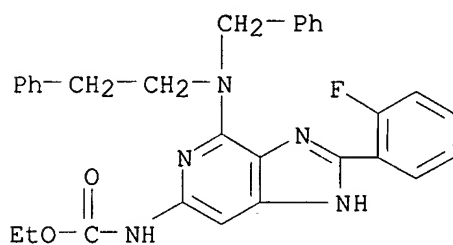
RN 646072-94-8 CAPLUS

CN Carbamic acid, [2-(4-ethylphenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



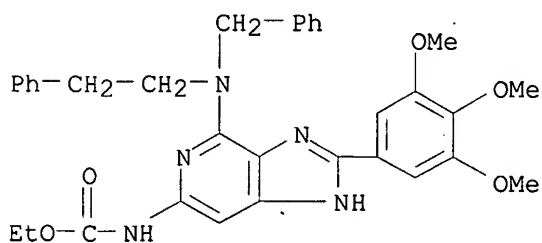
RN 646072-97-1 CAPLUS

CN Carbamic acid, [2-(2-fluorophenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



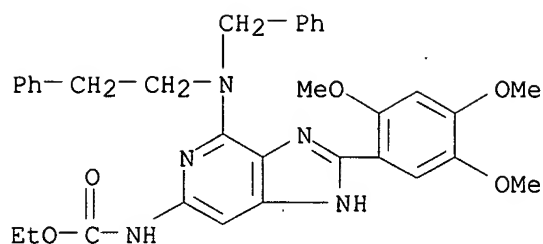
RN 646072-99-3 CAPLUS

CN Carbamic acid, [4-[(2-phenylethyl)(phenylmethyl)amino]-2-(3,4,5-trimethoxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



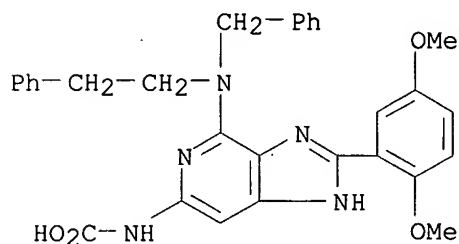
RN 646073-00-9 CAPLUS

CN Carbamic acid, [4-[(2-phenylethyl)(phenylmethyl)amino]-2-(2,4,5-trimethoxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



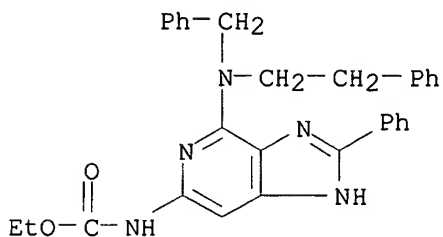
RN 646073-01-0 CAPLUS

CN Carbamic acid, [2-(2,5-dimethoxyphenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI)
(CA INDEX NAME)



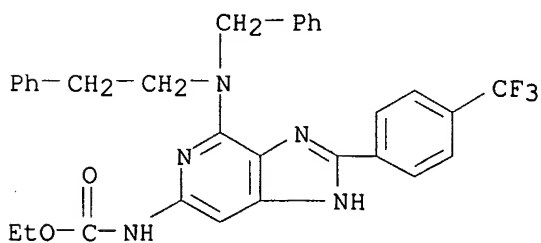
RN 646073-02-1 CAPLUS

CN Carbamic acid, [2-phenyl-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



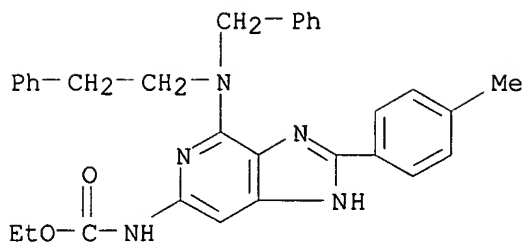
RN 646073-03-2 CAPLUS

CN Carbamic acid, [4-[(2-phenylethyl)(phenylmethyl)amino]-2-[4-(trifluoromethyl)phenyl]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



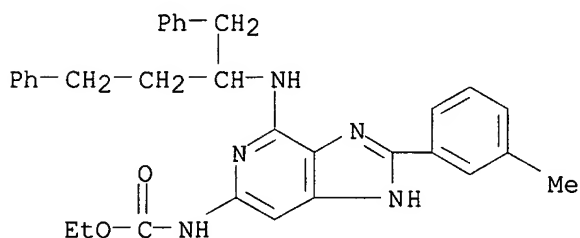
RN 646073-24-7 CAPLUS

CN Carbamic acid, [2-(4-methylphenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 646073-49-6 CAPLUS

CN Carbamic acid, [2-(3-methylphenyl)-4-[[3-phenyl-1-(phenylmethyl)propyl]amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:117561 CAPLUS

DOCUMENT NUMBER: 138:163512

TITLE: Mediators of hedgehog signaling pathways, compositions, and uses related thereto

INVENTOR(S): Rubin, Lee; Guicherit, Oivin M.; Price, Stephen; Boyd, Edward A.

PATENT ASSIGNEE(S): Curis, Inc., USA

SOURCE: PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011219	A2	20030213	WO 2002-US24073	20020729
WO 2003011219	A3	20040923		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2455100	AA	20030213	CA 2002-2455100	20020729
EP 1482928	A2	20041208	EP 2002-763383	20020729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005507860	T2	20050324	JP 2003-516451	20020729
US 2005085519	A1	20050421	US 2003-484945	20020729
CN 1638765	A	20050713	CN 2002-818679	20020729
BR 2002011513	A	20050830	BR 2002-11513	20020729

NO 2004000342
ZA 2004000582
PRIORITY APPLN. INFO.:

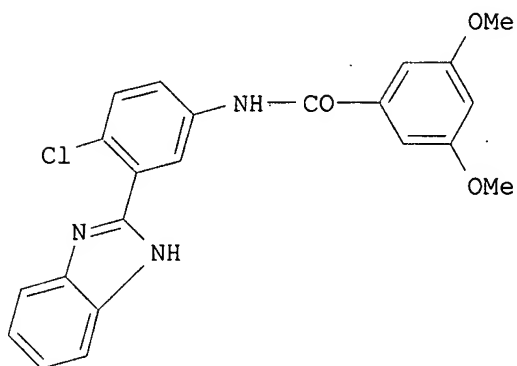
A 20040326
A 20050714

NO 2004-342
ZA 2004-582
US 2001-308449P
US 2001-338031P
WO 2002-US24073

20040126
20040126
P 20010727
P 20011113
W 20020729

OTHER SOURCE(S):
GI

MARPAT 138:163512



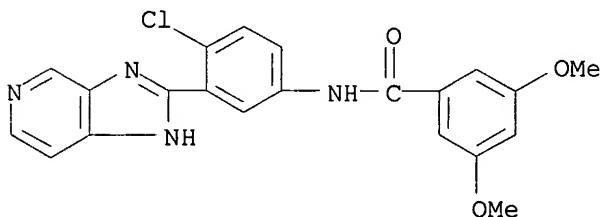
AB The invention provides methods and reagents for inhibiting aberrant growth states resulting from hedgehog gain-of-function, ptc loss-of-function or smoothened gain-of-function, comprising contacting the cell with a hedgehog antagonist, such as a small mol., in a sufficient amount to aberrant growth state, e.g., to agonize a normal ptc pathway or antagonize smoothened or hedgehog activity. Preparation and testing of a variety of heterocyclic compds. is included. The effect of benzimidazole derivative I on a variety of tumor cells (e.g. basal cell carcinoma) was determined

IT 496793-97-6 496793-98-7 496794-64-0
496794-66-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(hedgehog signaling pathway mediators, compns., and uses)

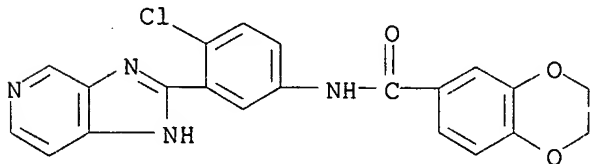
RN 496793-97-6 CAPLUS

CN Benzamide, N-[4-chloro-3-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

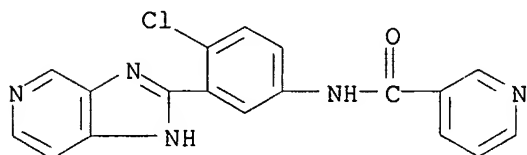


RN 496793-98-7 CAPLUS

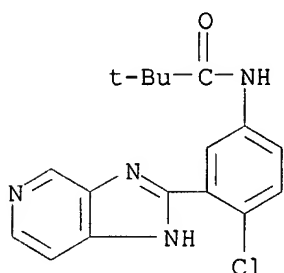
CN 1,4-Benzodioxin-6-carboxamide, N-[4-chloro-3-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 496794-64-0 CAPLUS
 CN 3-Pyridinecarboxamide, N-[4-chloro-3-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 496794-66-2 CAPLUS
 CN Propanamide, N-[4-chloro-3-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:923797 CAPLUS
 DOCUMENT NUMBER: 136:53746
 TITLE: Preparation of 6,5-fused bicyclic heterocycles as 15-lipoxygenase inhibitors
 INVENTOR(S): Picard, Joseph Armand; Roark, William Howard; Sliskovic, Drago Robert
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA
 SOURCE: PCT Int. Appl., 75 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096336	A2	20011220	WO 2001-US15112	20010509
WO 2001096336	A3	20020328		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412462	AA	20011220	CA 2001-2412462	20010509
EP 1294718	A2	20030326	EP 2001-933269	20010509
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011544	A	20030701	BR 2001-11544	20010509

OT
GI

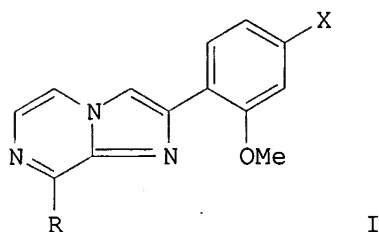
IT

CN Urea, [5-(1H-imidazo[4,5-c]pyridin-2-yl)-2-methoxyphenyl]- (9CI) (CA
INDEX NAME)

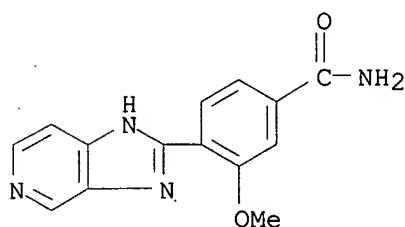


AUTHOR(S): Barracrough, Paul; Black, James W.; Cambridge, David;
Gerskowitch, V. Paul; Giles, Heather; Glen, Robert C.;

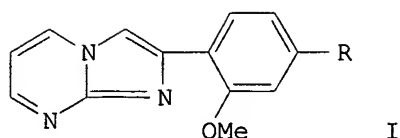
Hull, Robert A. D.; Iyer, Ramachandran; King, W. Richard; et al.
 CORPORATE SOURCE: Dep. Med. Chem., Wellcome Res. Lab., Beckenham/Kent, BR3 3BS, UK
 SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(4), 509-14
 CODEN: BMCLE8; ISSN: 0960-894X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 121:9327
 GI



AB A series of 2-arylimidazo[1,2-a]pyrazines I (X = SMe, CONH₂, O₃SMe; R = H, OMe) has been prepared and evaluated for inotropic activity. I (X = SMe, R = OMe) (BW315C) displayed potent inotropic effects having comparable in vitro and in vivo inotropic potencies to those of isomazole. Structure-activity relationships are discussed.
 IT 130179-73-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (inotropic activity of)
 RN 130179-73-6 CAPLUS
 CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)



L4 ANSWER.14 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1992:571309 CAPLUS
 DOCUMENT NUMBER: 117:171309
 TITLE: Inotropic 2-arylimidazo[1,2-a]pyrimidines
 AUTHOR(S): Barraclough, P.; Black, J. W.; Cambridge, D.; Capon, E.; Cox, M. R.; Firmin, D.; Gerskowitch, V. P.; Giles, H.; Glen, R. C.; et al.
 CORPORATE SOURCE: Dep. Med. Chem., Wellcome Res. Lab., Beckenham/Kent, BR3 3BS, UK
 SOURCE: European Journal of Medicinal Chemistry (1992), 27(3), 207-17
 CODEN: EJMCA5; ISSN: 0223-5234
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



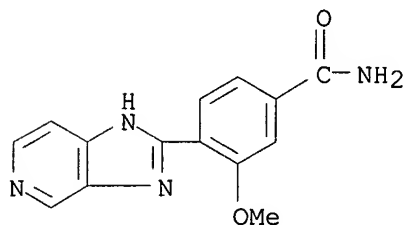
AB A series of 2-arylimidazo[1,2-a]pyrimidines were prepared and evaluated for inotropic activity. Thus, 2-aminopyrimidine was treated with 2,4-(MeO)2C6H3COCH2Br to give 52% I (R = MeO). Three of these heterocycles I (R = MeO, MeS, MeSO3) displayed more potent inotropic effects in vitro than isomazole. The in vivo inotropic potencies of I (R = MeSO3, NH2CO) were similar to those of isomazole and sulmazole resp. The effects of some 'A' and 'C' ring substituents on the inotropic activities of the imidazo[1,2-a]pyrimidines were different from those on the imidazopyridines. Nevertheless the inotropic potencies of several imidazo[1,2-a]pyrimidines were closed to those of their 1H-imidazo[4,5-b]pyridine isomers than to those of the corresponding isomazole analogs. Structure-activity relationships are discussed in detail.

IT 130179-73-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(inotropic activity of)

RN 130179-73-6 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:583176 CAPLUS

DOCUMENT NUMBER: 115:183176

TITLE: 2-Phenyl-3H-imidazo[4,5-b]pyridine-3-acetamides as nonbenzodiazepine anticonvulsants and anxiolytics

AUTHOR(S): Tomczuk, Bruce E.; Taylor, C. R., Jr.; Moses, L. Meredith; Sutherland, Deborah B.; Lo, Young S.; Johnson, David N.; Kinnier, William B.; Kilpatrick, Brian F.

CORPORATE SOURCE: Dep. Chem. Res., A. H. Robbins Co., Richmond, VA, 23261-6609, USA

SOURCE: Journal of Medicinal Chemistry (1991), 34(10), 2993-3006

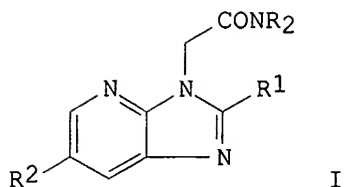
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:183176

GI



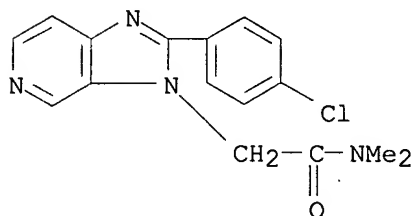
AB A series of 2-phenyl-3H-imidazo[4,5-b]pyridine-3-acetamides e.g. I [R = H, R1 = 4-ClC6H4, R2 = H (II); R = Me, R1 = 4-MeC6H4, R2 = Cl (III)] were designed and synthesized as nonbenzodiazepine anxiolytics based on a mol. disconnection of a typical 1,4-benzodiazepine (BZD). A number of these compds. showed submicromolar potency in a [3H]benzodiazepine binding assay in vitro and good potency in protecting rodents against pentylenetetrazole-induced seizures. II appears to be a selective anticonvulsant (pentylenetetrazole) agent when tested against a profile of chemical and elec. induced seizures in mice. In addition, III appears to be a selective anxiolytic/hypnotic agent on the basis of biochem. and pharmacol. characterization. It appears to be a full BZD agonist as assessed by GABA shift ratio and to be effective in punishment and nonpunishment animal models of anxiety. In addition, it shows a lower side-effect profile than diazepam as assessed by rotored neurotoxicity and potentiation of ethanol-induced sleep time in mice. The chemical and structure-activity relationships of this series is discussed.

IT 135429-05-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and anticonvulsant and anxiolytic activity of)

RN 135429-05-9 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine-3-acetamide, 2-(4-chlorophenyl)-N,N-dimethyl-
(9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:516282 CAPLUS

DOCUMENT NUMBER: 115:116282

TITLE: Heterocyclic X-azolopyridine intermediates

AUTHOR(S): Viscardi, Guido; Savarino, Piero; Barni, Ermanno;
Carpignano, Rosarina

CORPORATE SOURCE: Dip. Chim. Gen. Org. Appl., Univ. Torino, Turin,
10125, Italy

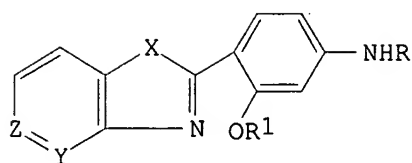
SOURCE: Journal of Heterocyclic Chemistry (1990), 27(6),
1825-9

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

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I

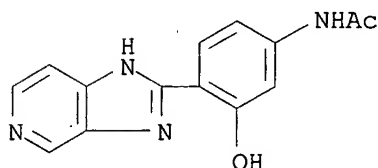
AB A series of heterocyclic coupling agents with tuned hydrophobic chains, (I; R = H, Ac, octanoyl; R' = H, Ac; X = O, NH; Y = N, CH; Z = CH, N) was prepared by reaction of diamino- or hydroxyaminopyridines with p-aminosalicylic acid. The acetylation of amino group and hydroxyl in oxazole derivs. showed a selectivity depending on whether Ac₂O or AcCl was used. Correlations between structure and spectroscopic data, including related compds. previously described, were reported.

IT 131986-01-1P 133958-92-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as coupling components for azo dyes)

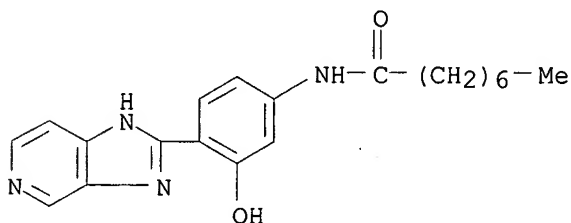
RN 131986-01-1 CAPLUS

CN Acetamide, N-[3-hydroxy-4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI)
(CA INDEX NAME)



RN 133958-92-6 CAPLUS

CN Octanamide, N-[3-hydroxy-4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI)
(CA INDEX NAME)



L4 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:249282 CAPLUS

DOCUMENT NUMBER: 114:249282

TITLE: Highly aggregated heterocyclic azo dyes

AUTHOR(S): Viscardi, Guido; Savarino, Piero; Barni, Ermanno;
Novaria, Mario

CORPORATE SOURCE: Dip. Chim. Gen. Org. Appl., Univ. Turin, Turin, 10125,
Italy

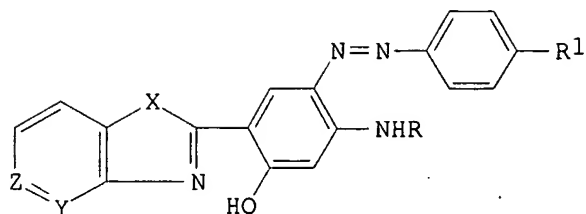
SOURCE: Annali di Chimica (Rome, Italy) (1990), 80(11-12),
503-14

CODEN: ANCRAI; ISSN: 0003-4592

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



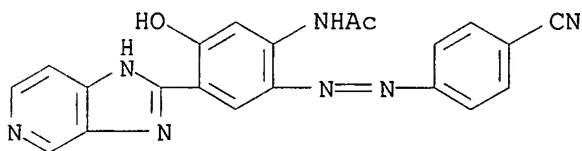
I

AB Azo dyes (I) were prepared where R = H, Ac, CO(CH₂)₆CH₃; R₁ = CN, 6-methyl-2-benzothiazolyl; X = O, NH; Y = CH, N; and Z = N, CH. I had a strong tendency to aggregate and the X heteroatom had no effect on aggregation. I (R = Ac) aggregated slightly less than I (R = H). I (R₁ = CN) aggregated less than I (R₁ = 6-methyl-2-benzothiazolyl).

IT 134098-52-5P 134098-53-6P 134098-58-1P
134121-13-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(dye, preparation and aggregation of)

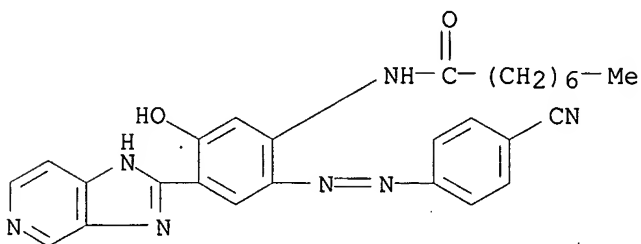
RN 134098-52-5 CAPLUS

CN Acetamide, N-[2-[(4-cyanophenyl)azo]-5-hydroxy-4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



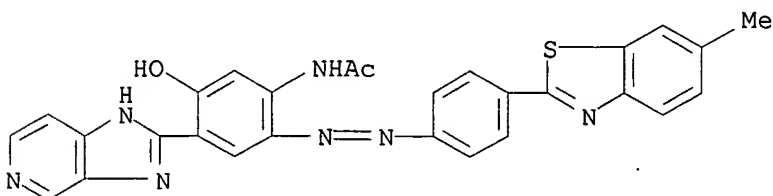
RN 134098-53-6 CAPLUS

CN Octanamide, N-[2-[(4-cyanophenyl)azo]-5-hydroxy-4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)



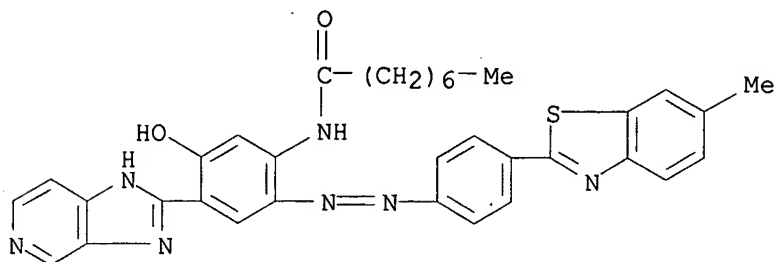
RN 134098-58-1 CAPLUS

CN Acetamide, N-[5-hydroxy-4-(1H-imidazo[4,5-c]pyridin-2-yl)-2-[[4-(6-methyl-2-benzothiazolyl)phenyl]azo]phenyl]- (9CI) (CA INDEX NAME)



RN 134121-13-4 CAPLUS

CN Octanamide, N-[5-hydroxy-4-(1H-imidazo[4,5-c]pyridin-2-yl)-2-[[4-(6-methyl-2-benzothiazolyl)phenyl]azo]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:591241 CAPLUS

DOCUMENT NUMBER: 113:191241

TITLE: Cardiotoxic C ring modified isomazole analogs

AUTHOR(S): Barraclough, Paul; Black, James W.; Cambridge, David; Demaine, Derek A.; Gerskowitch, V. Paul; Giles, Heather; Hill, Alan P.; Hull, Robert A. D.; Lyer, Ramachandran; et al.

CORPORATE SOURCE: Dep. Med. Chem., Wellcome Res. Lab., Beckenham/Kent, BR3 3BS, UK

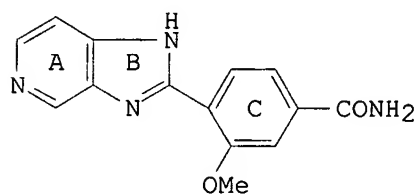
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1990), 323(8), 507-12

CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



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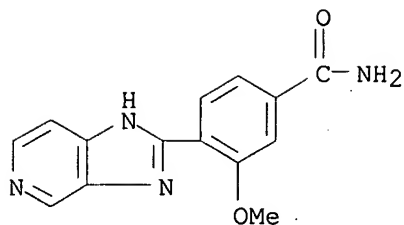
AB Isomazole analogs, e.g., I, which have achiral electron withdrawing substituents at the 4'-position and analogs with heterocyclic 'C' rings were prepared and evaluated as inotropic agents. Pyridyl could replace Ph in the 'C' ring without loss of activity. The 4'-methylsulfonyl, -cyano, -carboxamido, and acetyl analogs had similar inotropic potencies to Isomazole while displaying superior cardiovascular profiles in in vivo studies.

IT 130179-73-6

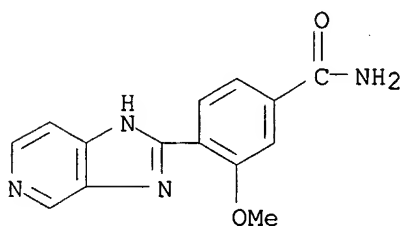
RL: RCT (Reactant); RACT (Reactant or reagent)
(inotropic activity of)

RN 130179-73-6 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

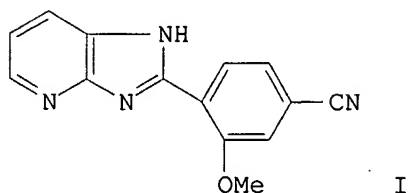


IT 130179-79-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 130179-79-2 CAPLUS
 CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy-, dihydrochloride
 (9CI) (CA INDEX NAME)



● 2 HCl

L4 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1990:591240 CAPLUS
 DOCUMENT NUMBER: 113:191240
 TITLE: Inotropic activities of imidazopyridines
 AUTHOR(S): Barraclough, Paul; Black, James W.; Cambridge, David;
 Gerskowitch, V. Paul; Hull, Robert A. D.; Lye,
 Ramachandran; King, W. Richard; Kneen, Clare O.;
 Nobbs, Malcolm S.; et al.
 CORPORATE SOURCE: Dep. Med. Chem., Wellcome Res. Lab., Beckenham/Kent,
 BR3 3BS, UK
 SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1990),
 323(8), 501-5
 CODEN: ARPMAS; ISSN: 0365-6233
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB A series of 2-substituted 1H-imidazo[4,5-b]pyridines, e.g., I, and the isomeric 1H-imidazo[4,5-c]pyridine derivs. was prepared by, e.g., condensing 2,3-diaminopyridine with 2,4-(MeO)(NC)C6H3COCl, and evaluated as inotropic

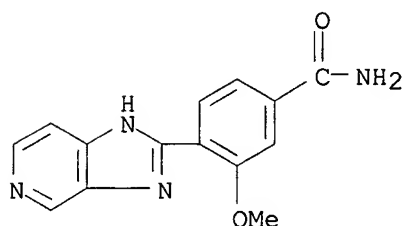
agents. The 1H-imidazo-[4,5-b] derivs. were consistently more potent than their isomers in the [4,5-c] series in isolated guinea pig papillary muscle preps. Structure-activity relationships and the species-dependence of inotropic potencies are discussed.

IT 130179-73-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(inotropic activity of)

RN 130179-73-6 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:452068 CAPLUS

DOCUMENT NUMBER: 113:52068

TITLE: Synthesis and biological evaluation of a series of parenteral 3'-quaternary ammonium cephalosporins

AUTHOR(S): Brown, Raymond F.; Kinnick, Michael D.; Morin, John M., Jr.; Vasileff, Robert T.; Counter, Fred T.; Davidson, Edward O.; Ensminger, Paul W.; Eudaly, Judith A.; Kasher, Jeffrey S.; et al.

CORPORATE SOURCE: Lilly Corp. Cent., Eli Lilly and Co., Indianapolis, IN, 46285, USA

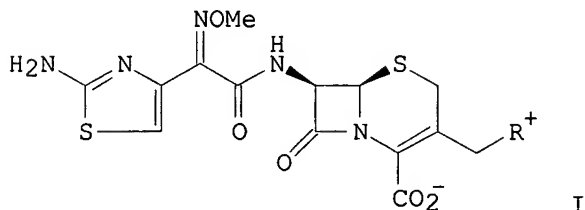
SOURCE: Journal of Medicinal Chemistry (1990), 33(8), 2114-21
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:52068

GI



AB The preparation and biol. evaluation of a series of 7β-[2-(2-aminothiazol-4-yl)-2(Z)-methoximinoacetamide]cephalosporins (I where R = e.g., pyridinyl, quinolinyl), substituted at the 3'-position with monocyclic or bicyclic nitrogen-containing heterocycles, are described. The resulting family of parenteral compds. displayed a broad spectrum of antibacterial activity. Some compds. exhibit a similar level of Gram-neg. activity to that of the "third-generation" cephalosporins with increased staphylococcal activity. The in vitro and in vivo antimicrobial activity, structure-activity relations, β-lactamase stability, and in vitro and in vivo pharmacol. evaluations are presented.

IT 98383-05-2P

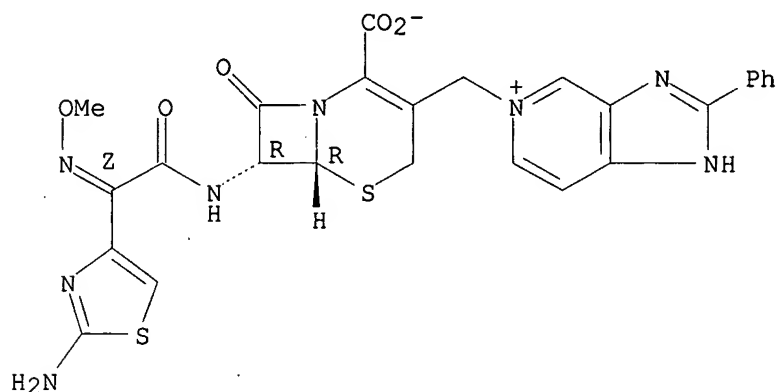
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)

RN 98383-05-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2-phenyl-, inner salt, [6R-[6 α ,7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L4 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:204418 CAPLUS

DOCUMENT NUMBER: 108:204418

TITLE: Preparation of 3-[(bicyclic pyridinio)methyl]cephalosporins as antibiotics

INVENTOR(S): Katner, Allen S.

PATENT ASSIGNEE(S): Eli Lilly and Co., USA

SOURCE: U.S., 20 pp. Cont.-in-part of U.S. Ser. No. 542,619, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

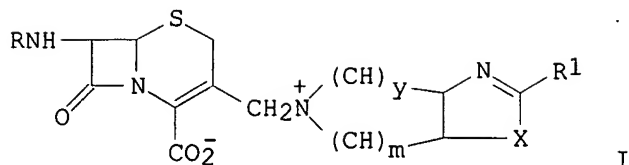
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4692443	A	19870908	US 1984-679717	19841210
GB 2148289	A1	19850530	GB 1984-25453	19841009
GB 2148289	B2	19870923		
ZA 8407926	A	19860528	ZA 1984-7926	19841009
FI 8404000	A	19850418	FI 1984-4000	19841011
ES 536728	A1	19851116	ES 1984-536728	19841011
CA 1225390	A1	19870811	CA 1984-465150	19841011
DK 8404891	A	19850418	DK 1984-4891	19841012
AU 8434189	A1	19850426	AU 1984-34189	19841012
AU 574107	B2	19880630		
SU 1360587	A3	19871215	SU 1984-3798239	19841012
JP 60105685	A2	19850611	JP 1984-219350	19841016
HU 35687	O	19850729	HU 1984-3865	19841016
HU 195512	B	19880530		
GB 2181136	A1	19870415	GB 1986-27171	19861113
GB 2181136	B2	19880525		
US 4748172	A	19880531	US 1987-2091	19870112
PRIORITY APPLN. INFO.:			US 1983-542619	A2 19831017
			GB 1984-25453	A3 19841009

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AB Title compds. I [R = H, HCO, (protected)- α -aminoacidipoyl, R' = ONCR'CO; R' = 5-6-member aminoheterocyclyl; R'' = H, C1-4 alkyl, carboxy-substituted alkyl, -cycloalkyl, N-substituted carbamoyl; R1 = H, C1-4 alkyl, -alkoxy, -alkylthio, -alkanoylamino, -alkylamino, di-C1-4 alkylamino, H2N, thienyl, HOCO, Ph, etc; X = O, S; m, y = 0-3, provided m + y = 3] and their salts, were prepared syn-7-[2-(2-Aminothiazol-4-yl)-2-methoxyiminoacetamido]-3-acetoxymethyl-3-cephem-4-carboxylic acid in CH2Cl2 containing F3CCONMeSiMe3 (II) was warmed to 40°, sonicated and reacted with Me3SiI to give an oil, which, in MeCN and THF, was reacted with 1H-imidazo[4,5-c]pyridine in MeCN containing II to give syn-7-[2-(2-aminothiazol-4-yl)-2-methyliminoacetamido]-3-(1H-imidazo[4,5-c]pyridinio-5-methyl)-3-cephemcarboxylate (III) which had min. inhibitory concentration of 1 μ g/mL against Staphylococcus aureus, compared to 8 μ g/mL for ceftazidime. A formulation for i.v. use comprised 1.0 g III and 100 mL 0.9% saline.

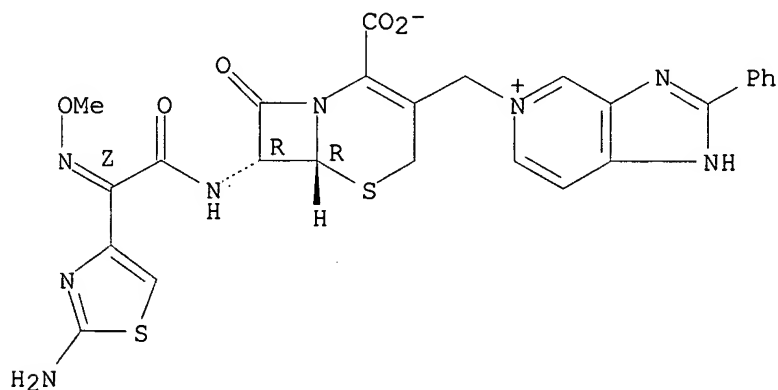
IT 98383-05-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antibiotic)

RN 98383-05-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2-phenyl-, inner salt, [6R-[6 α ,7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



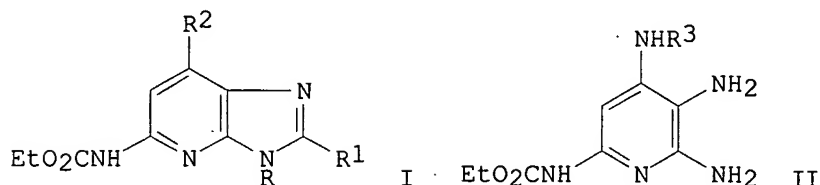
L4 ANSWER 22 OF 25 CAPLUS. COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:575945 CAPLUS

DOCUMENT NUMBER: 107:175945

TITLE: Synthesis of potential anticancer agents:
imidazo[4,5-c]pyridines and imidazo[4,5-b]pyridines

AUTHOR(S): Temple, Carroll, Jr.; Rose, Jerry D.; Comber, Robert N.; Renner, Gregory A.
 CORPORATE SOURCE: Kettering-Meyer Lab., South. Res. Inst., Birmingham, AL, 35255-5305, USA
 SOURCE: Journal of Medicinal Chemistry (1987), 30(10), 1746-51
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 107:175945
 GI



AB Imidazopyridines I [R = R1 = H; R2 = NHR3; R3 = Ph, Ph(CH2)n, n = 1,2,3; R = Ph, R1 = H, R2 = NH2] were prepared by the cyclization of triaminopyridines II with HC(OEt)3. Oxidative cyclization of 4,5- or 5,6-diaminopyridines with aromatic aldehydes gave imidazo[4,5-c]- and -[4,5-b]pyridine ring systems resp. The latter reaction with 6-(substituted amino)-4,5-diaminopyridines gave imidazo[4,5-c]pyridine ring analogs of Et 5-amino-3-phenyl-1,2-dihydropyrido[3,4-b]pyrazine-7-carbamate (III), which is a mitosis inhibitor with significant antitumor activity in mice. Biol. studies on the prepared compds. showed that they were less active than III and I (R = R1 H, R2 = NHCHPh2).

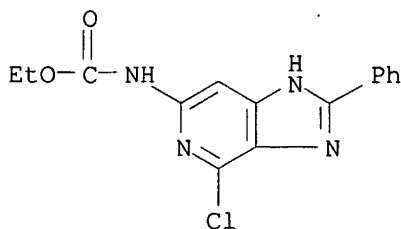
IT 109182-47-0P 109182-53-8P 109182-54-9P
 109182-56-1P 109182-57-2P 109182-58-3P
 109182-66-3P 109182-69-6P 109182-73-2P
 109217-57-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antitumor activity of)

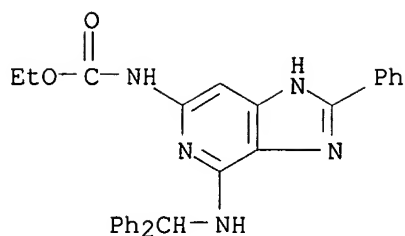
RN 109182-47-0 CAPLUS

CN Carbamic acid, (4-chloro-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl)-, ethyl ester (9CI) (CA INDEX NAME)



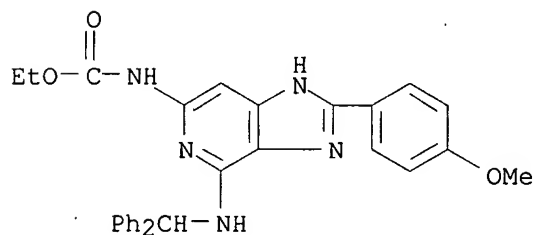
RN 109182-53-8 CAPLUS

CN Carbamic acid, [4-[(diphenylmethyl)amino]-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



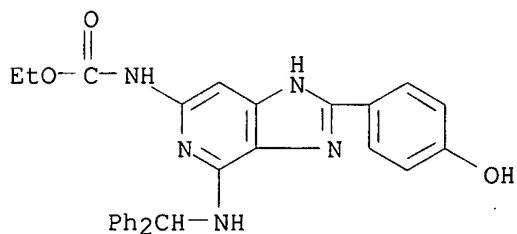
RN 109182-54-9 CAPLUS

CN Carbamic acid, [4-[(diphenylmethyl)amino]-2-(4-methoxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



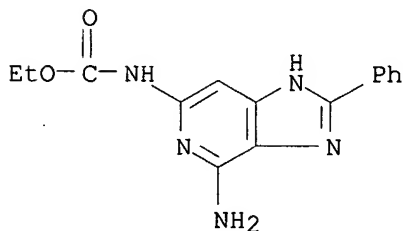
RN 109182-56-1 CAPLUS

CN Carbamic acid, [4-[(diphenylmethyl)amino]-2-(4-hydroxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 109182-57-2 CAPLUS

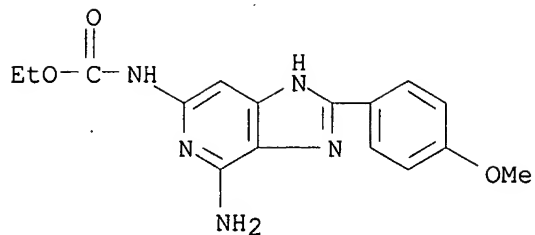
CN Carbamic acid, (4-amino-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 109182-58-3 CAPLUS

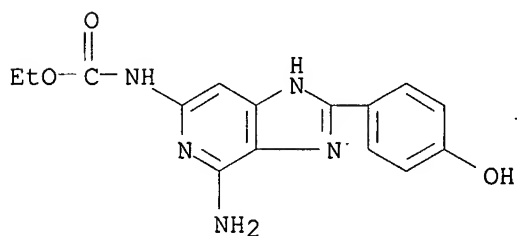
CN Carbamic acid, [4-amino-2-(4-methoxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 109182-66-3 CAPLUS

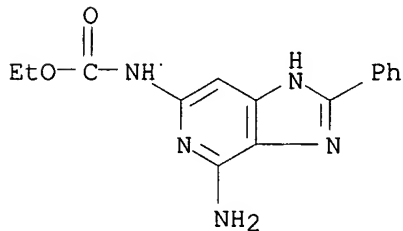
CN Carbamic acid, [4-amino-2-(4-hydroxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

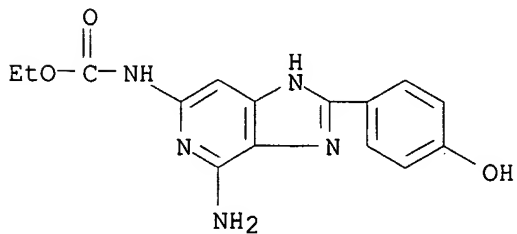
RN 109182-69-6 CAPLUS

CN Carbamic acid, (4-amino-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl)-, ethyl ester (9CI) (CA INDEX NAME)

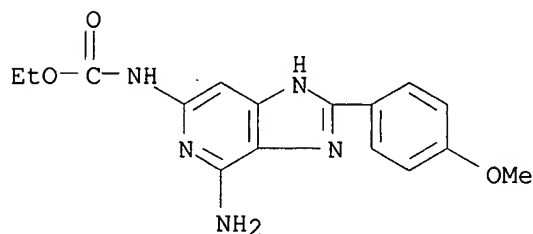


RN 109182-73-2 CAPLUS

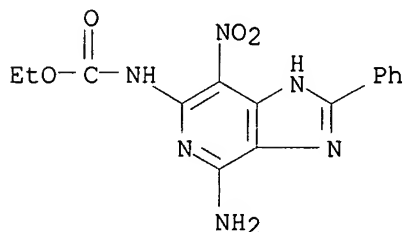
CN Carbamic acid, [4-amino-2-(4-hydroxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 109217-57-4 CAPLUS
 CN Carbamic acid, [4-amino-2-(4-methoxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)



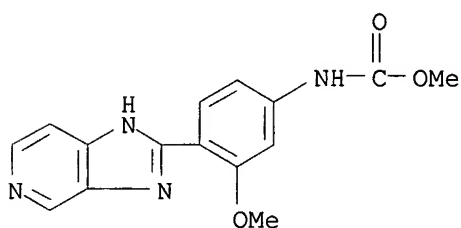
IT 109182-50-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 109182-50-5 CAPLUS
 CN Carbamic acid, (4-amino-7-nitro-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl)-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1987:156466 CAPLUS
 DOCUMENT NUMBER: 106:156466
 TITLE: Preparation of 2-arylimidazole derivatives as
 cardiovascular agents
 INVENTOR(S): Mueller, Erich; Hael, Norbert; Noll, Klaus; Narr,
 Berthold; Heider, Joachim; Psiorz, Manfred; Bomhard,
 Andreas; Meel, Van Jacques; Diederer, Willi
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 29 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3522230	A1	19870102	DE 1985-3522230	19850621
EP 209707	A2	19870128	EP 1986-107969	19860611
EP 209707	A3	19890201		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FI 8602623	A	19861222	FI 1986-2623	19860619
DK 8602909	A	19861222	DK 1986-2909	19860620
NO 8602477	A	19861222	NO 1986-2477	19860620
AU 8658932	A1	19861224	AU 1986-58932	19860620
JP 62000471	A2	19870106	JP 1986-144658	19860620
HU 42452	A2	19870728	HU 1986-2615	19860620
ES 556338	A1	19871201	ES 1986-556338	19860620
ZA 8604602	A	19880224	ZA 1986-4602	19860620

ES 557240 A1 19870516 ES 1986-557240 19861204
 ES 557241 A1 19870516 ES 1986-557241 19861204
 PRIORITY APPLN. INFO.: DE 1985-3522230 A 19850621
 OTHER SOURCE(S): CASREACT 106:156466; MARPAT 106:156466
 GI For diagram(s), see printed CA Issue.
 AB The title compds. [I; R1 = H, alkyl; R2 = (substituted) Ph, naphthyl; A = fused (substituted) naphtho, benzo, pyrido, pyrimido ring] were prepared as cardiovascular agents. 2,4-MeO(MeSO2NH)C6H3CO2H cyclocondensed with 3,4-(H2N)2C6H3CN to give phenylbenzimidazolecarbonitrile II. In cats 0.6 mg II/kg i.v. reduced blood pressure 40 mm Hg.
 IT 107254-33-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as antihypertensive and antithrombotic)
 RN 107254-33-1 CAPLUS
 CN Carbamic acid, [4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxyphenyl]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1986:5871 CAPLUS
 DOCUMENT NUMBER: 104:5871
 TITLE: 2-Phenylimidazoles and a drug containing these compounds
 INVENTOR(S): Austel, Volkhard; Heider, Joachim; Hael, Norbert; Reiffen, Manfred; Nickl, Josef; Van Meel, Jakobus C. A.; Diederer, Willi
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 66 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3347290	A1	19850711	DE 1983-3347290	19831228
ES 537992	A1	19851101	ES 1984-537992	19841127
DK 8406102	A	19850629	DK 1984-6102	19841219
EP 149200	A1	19850724	EP 1984-116009	19841220
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4722929	A	19880202	US 1984-684052	19841220
JP 60172980	A2	19850906	JP 1984-281991	19841225
FI 8405117	A	19850629	FI 1984-5117	19841227
NO 8405252	A	19850701	NO 1984-5252	19841227
DD 231355	A5	19851224	DD 1984-271864	19841227
HU 37618	A2	19860123	HU 1984-4843	19841227
ZA 8410057	A	19860924	ZA 1984-10057	19841227
AU 8437211	A1	19850704	AU 1984-37211	19841228
ES 543082	A1	19860101	ES 1985-543082	19850513
ES 543083	A1	19860101	ES 1985-543083	19850513
ES 543084	A1	19860101	ES 1985-543084	19850513
ES 543085	A1	19860101	ES 1985-543085	19850513
ES 543086	A1	19860101	ES 1985-543086	19850513

PRIORITY APPLN. INFO.:

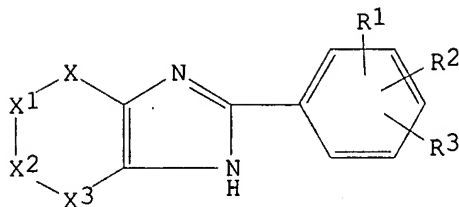
DE 1983-3347290

A 19831228

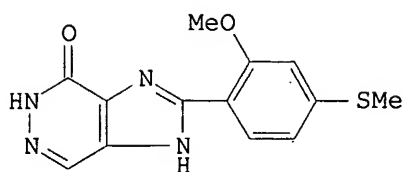
OTHER SOURCE(S):

CASREACT 104:5871

GI



I



II

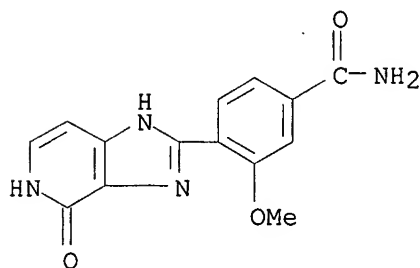
AB Fused-ring imidazoles I [1-3 of X-X3 = R4N, the remainder = CO, R5C; R4 = H, alkyl; R5 = alkoxy, PhCH2O, HO, halo; R1 = alkyl, alkoxy, PhCH2O, R6S(O)n, halo, amino, NO2, CO2H, alkanamido, acyl (e.g., cyano, carbamoyl, sulfamoyl, alkoxy carbonyl); R2, R3 = H, alkyl, alkoxy, OH, R6S(O)n, amino, halo, NO2, alkanamido, acyl; R6 = alkyl; n = 0-2] were prepared. Thus, 2,4-(MeO)(MeS)C6H3CO2H and 4,5-diamino-3(2H)-pyridazinone were heated 90 min at 100-110° in polyphosphoric acid to give 12% imidazopyridazinone II. In cats 2.0 mg II/kg gave a 72% increase in the heart contractility parameter and increased arterial blood pressure 10%.

IT 99445-95-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihypotensive and inotropic agent)

RN 99445-95-1 CAPLUS

CN Benzamide, 4-(4,5-dihydro-4-oxo-1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy-
(9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1985:541739 CAPLUS

DOCUMENT NUMBER: 103:141739

TITLE: 3-[(Bicyclic pyridinio)methyl]cephalosporins

INVENTOR(S): Katner, Allen Samuel

PATENT ASSIGNEE(S): Eli Lilly and Co., USA

SOURCE: Eur. Pat. Appl.; 78 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

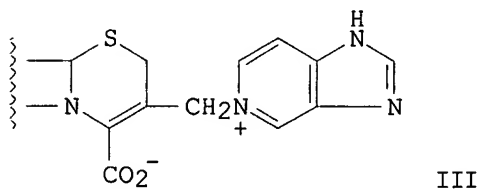
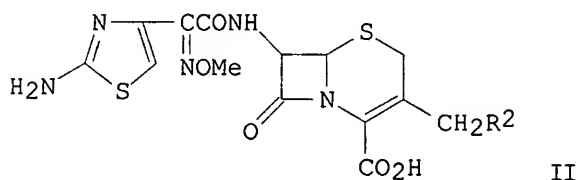
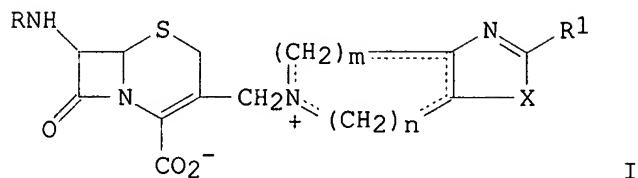
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 138552	A2	19850424	EP 1984-306866	19841009
EP 138552	A3	19860319		

R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE

GB 2148289	A1	19850530	GB 1984-25453	19841009
GB 2148289	B2	19870923		
ZA 8407926	A	19860528	ZA 1984-7926	19841009
FI 8404000	A	19850418	FI 1984-4000	19841011
ES 536728	A1	19851116	ES 1984-536728	19841011
CA 1225390	A1	19870811	CA 1984-465150	19841011
DK 8404891	A	19850418	DK 1984-4891	19841012
AU 8434189	A1	19850426	AU 1984-34189	19841012
AU 574107	B2	19880630		
SU 1360587	A3	19871215	SU 1984-3798239	19841012
JP 60105685	A2	19850611	JP 1984-219350	19841016
HU 35687	O	19850729	HU 1984-3865	19841016
HU 195512	B	19880530		
GB 2181136	A1	19870415	GB 1986-27171	19861113
GB 2181136	B2	19880525		
PRIORITY APPLN. INFO.:			US 1983-542619	A 19831017
			GB 1984-25453	A3 19841009

GI



AB Cephalosporins I (R = H, acyl; R1 = H, alkyl, Ph, thienyl, NH2, acylamino; X = O, S, NH, alkylimino; m, n = 0-3; m + n = 3) were prepared. Thus, cephem II (R2 = OAc) was iodinated and treated with the imidazopyridine to give III which had a min. inhibitory concentration against *Staphylococcus aureus*

X1.1 of 1 µg/mL.

IT 98383-05-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of)

RN 98383-05-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2-phenyl-, inner salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

